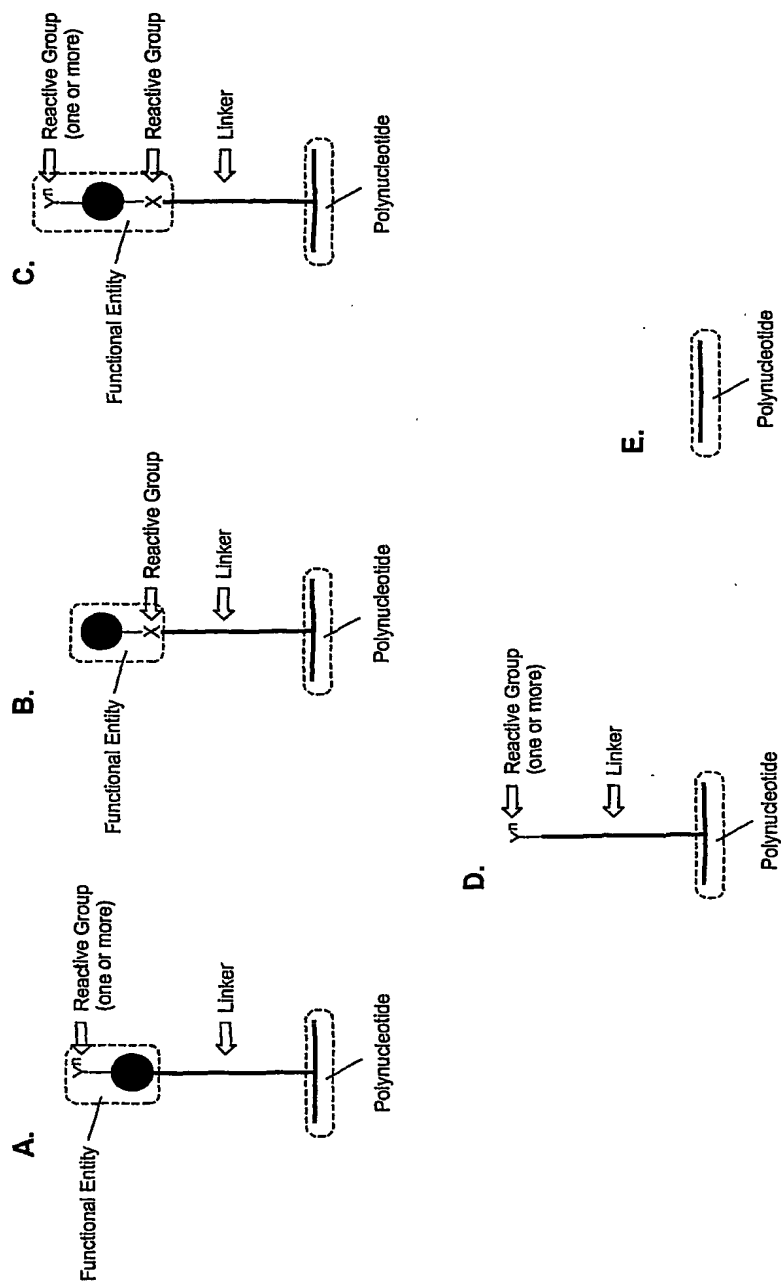


10/539288

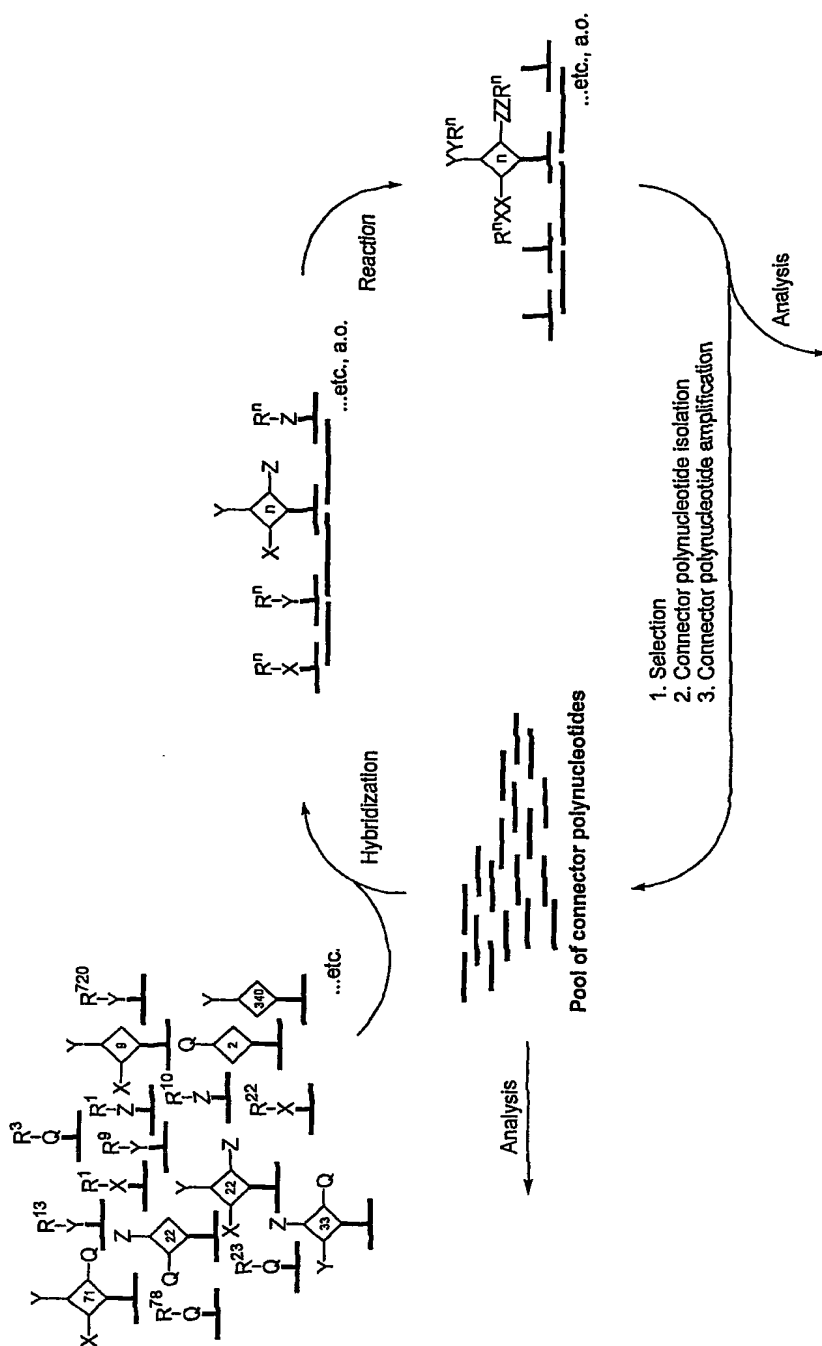
Fig. 1 Examples of Complementary Connector Polynucleotides (CCPN's)

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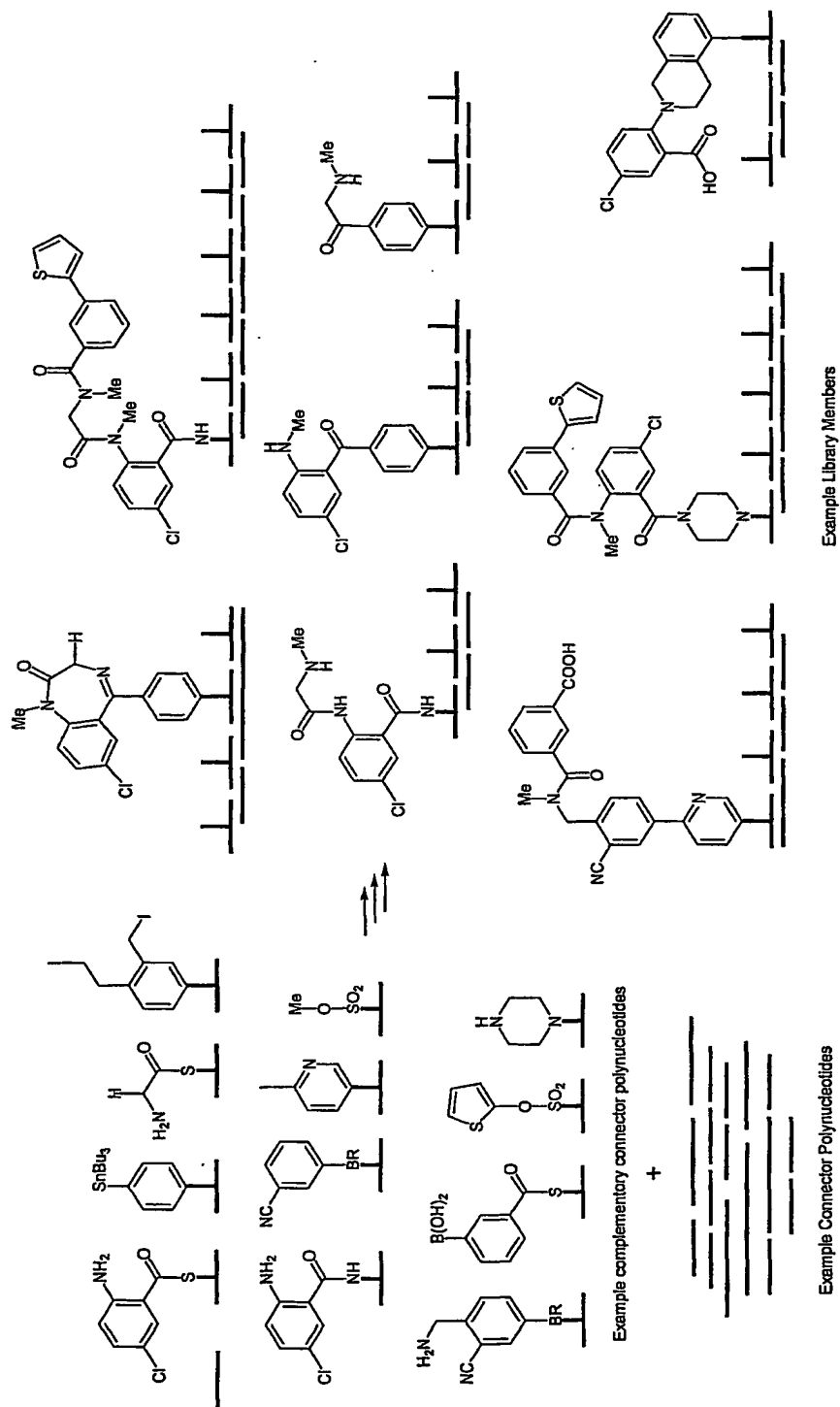
Fig. 2 Library Formation, Screening and Analysis

Pool of substituent and scaffold complementary connector polynucleotides



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Fig. 3. Example Library

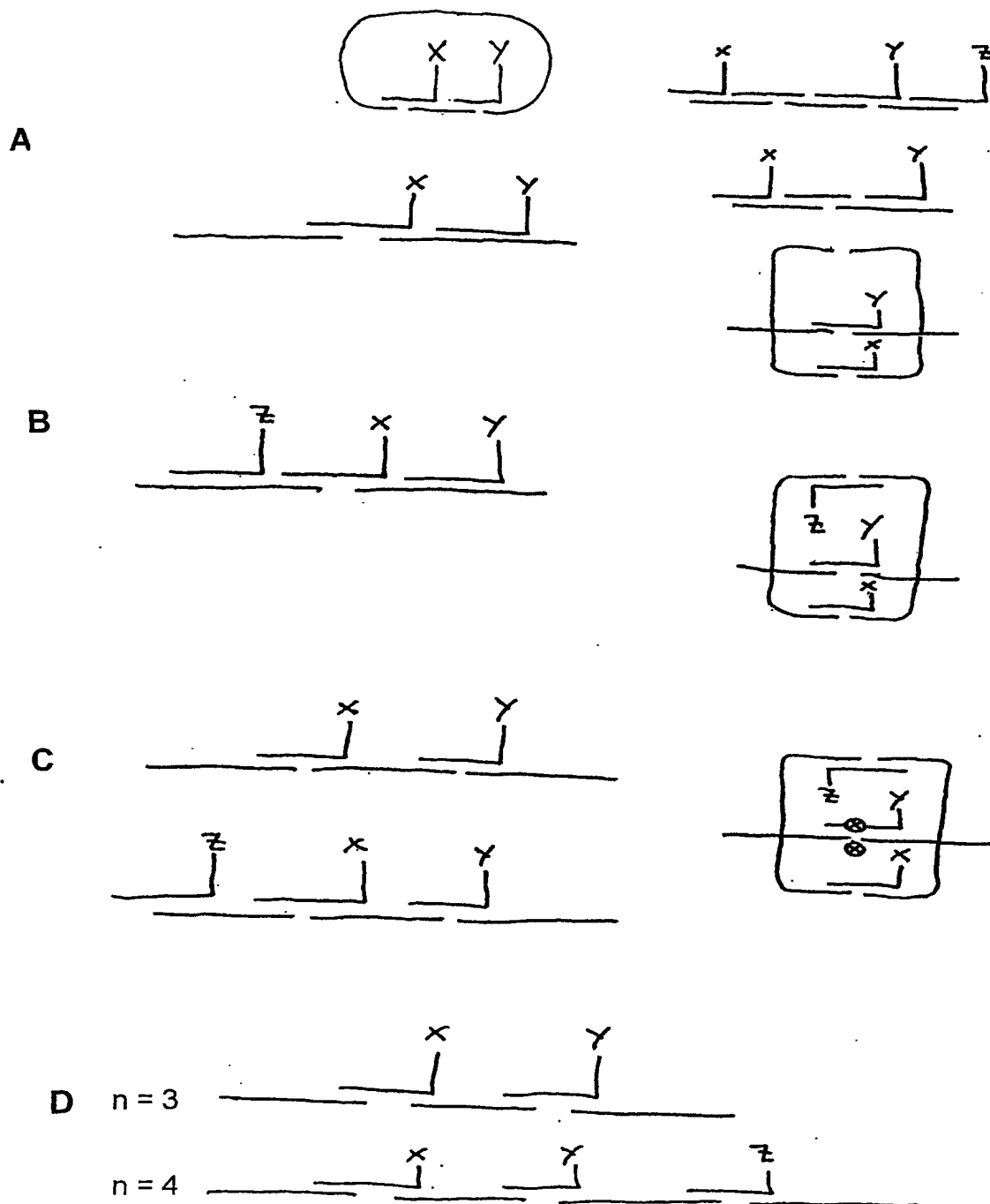


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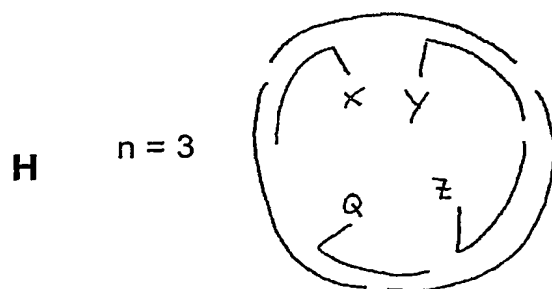
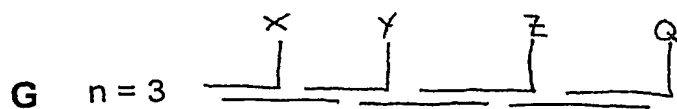
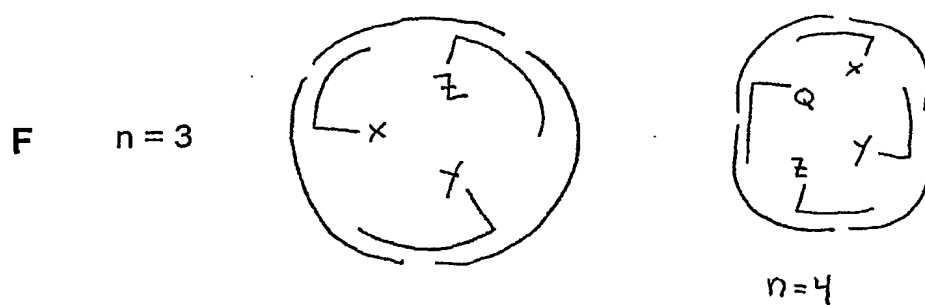
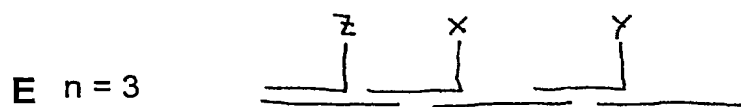
Fig. 4

Various CCPN/CPN complexes



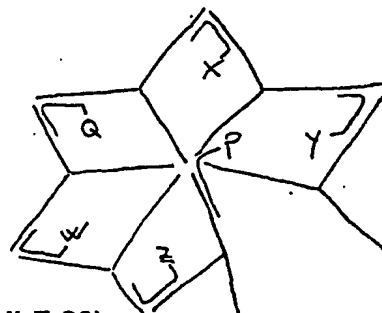
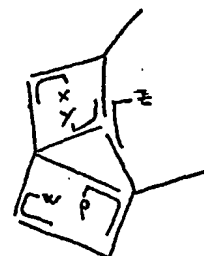
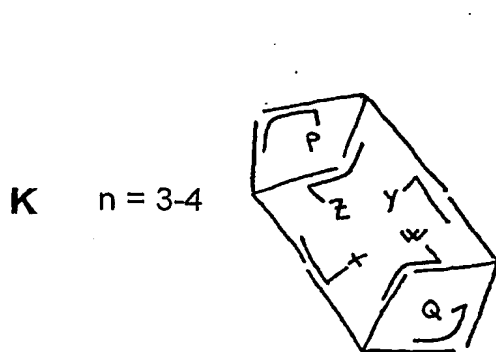
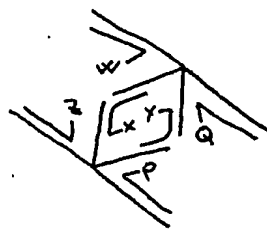
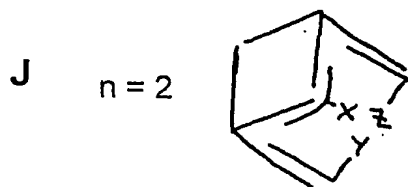
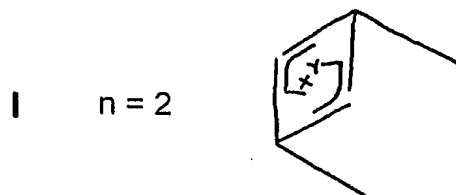
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Fig. 4 (continued)



10/539288

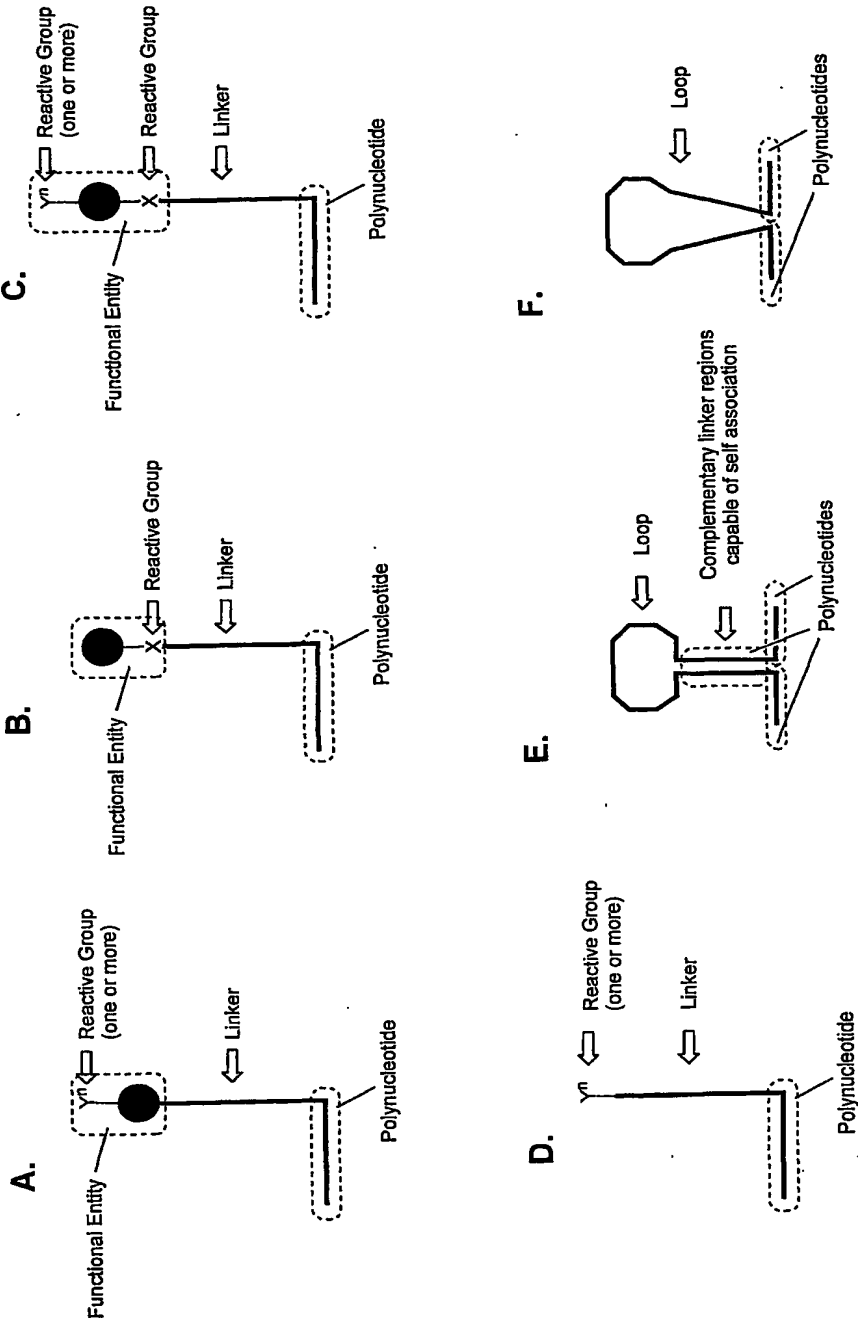
Fig. 4 (continued)



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Fig. 5 Examples of Complementary Connector Polynucleotides



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Fig. 6 Library formation, Screening and Analysis

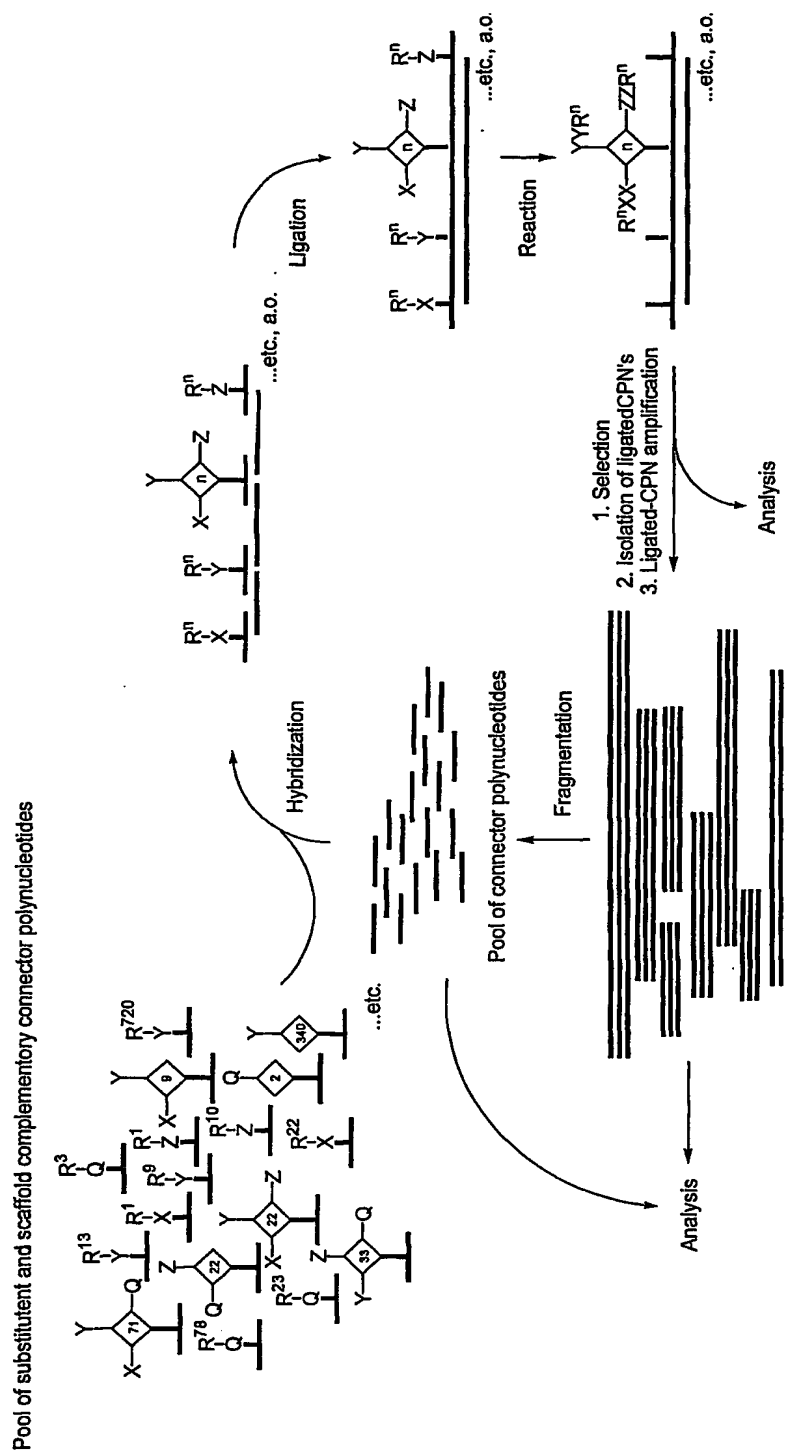


Fig. 7 Library formation, Screening and Analysis

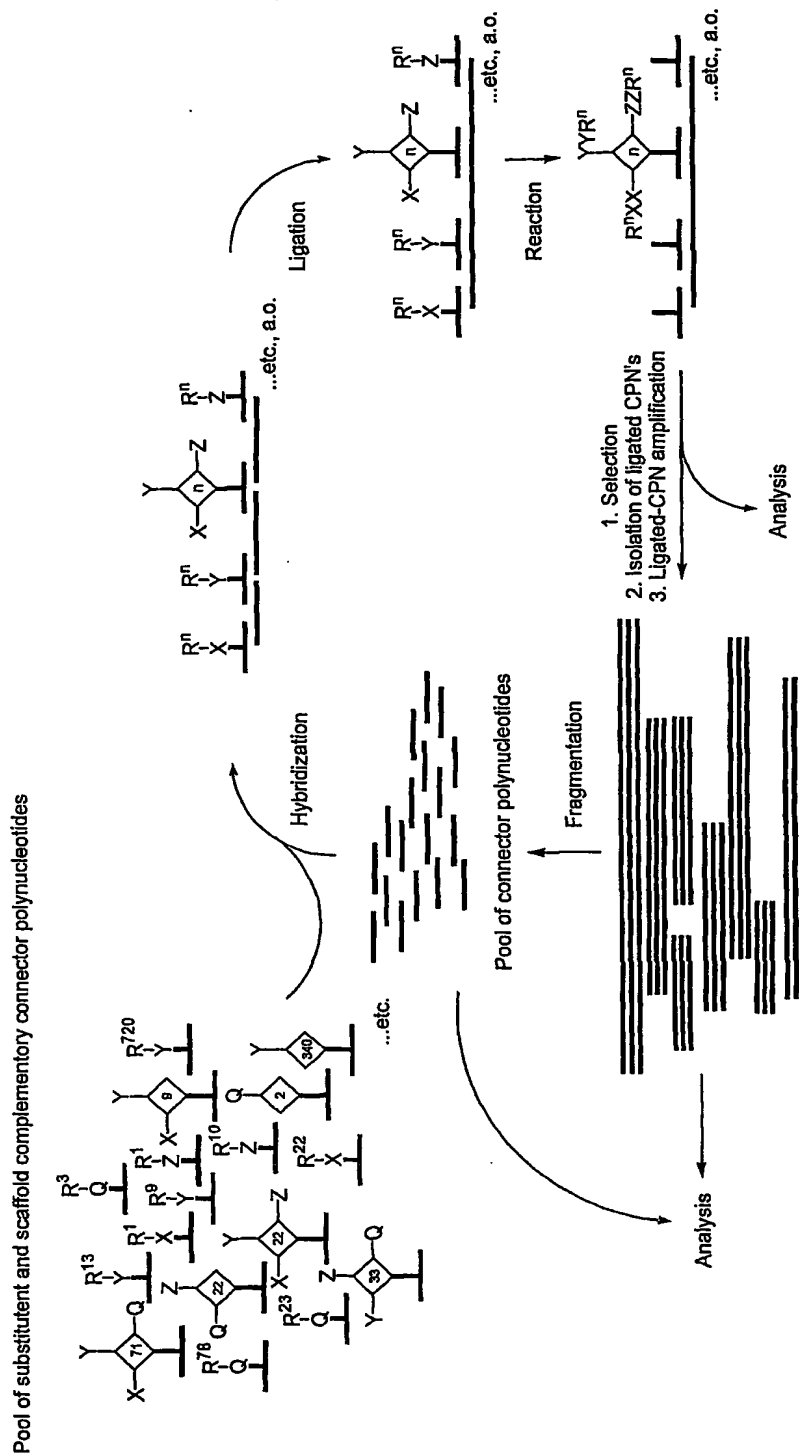
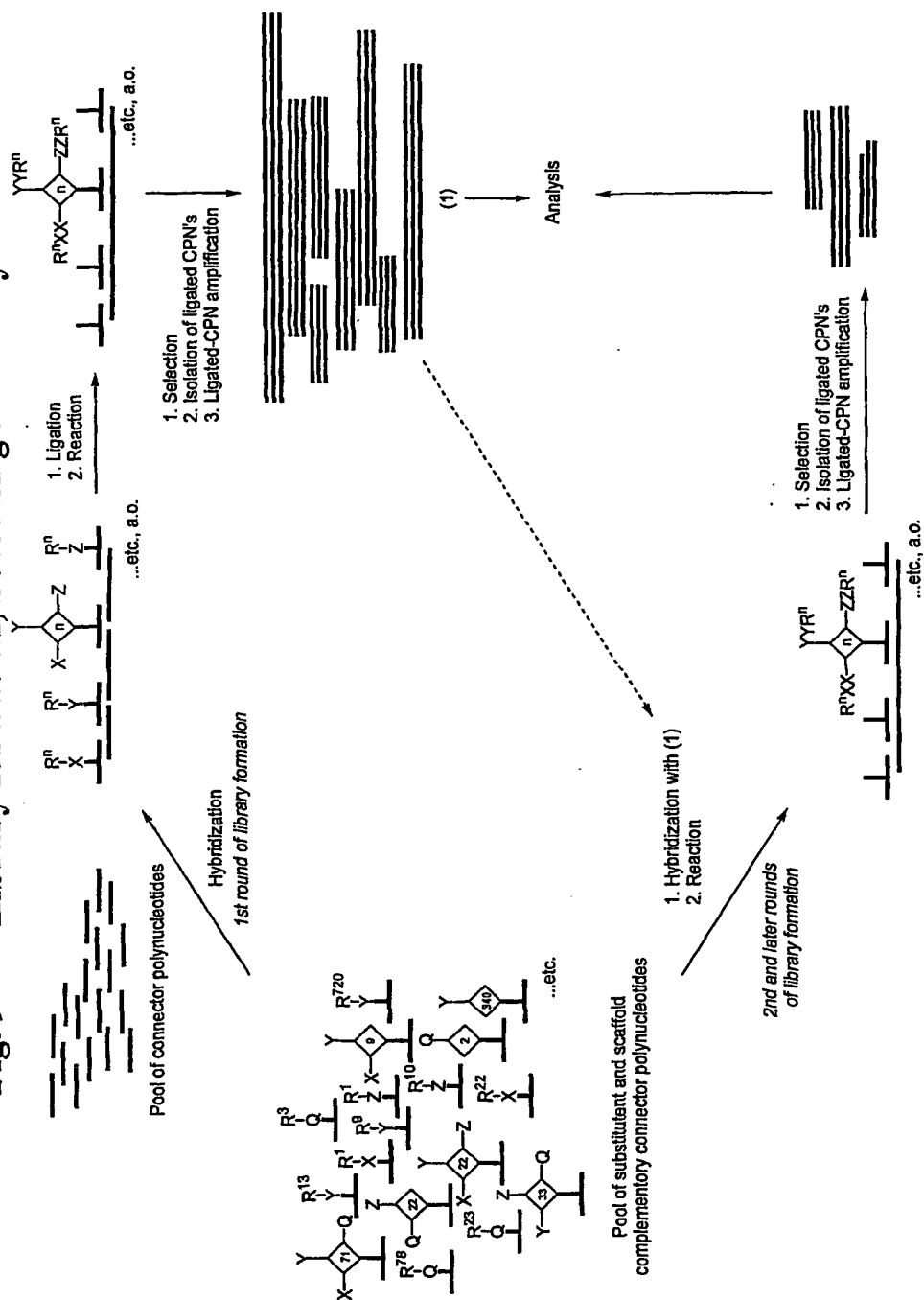


Fig. 8 Library formation, Screening and Analysis

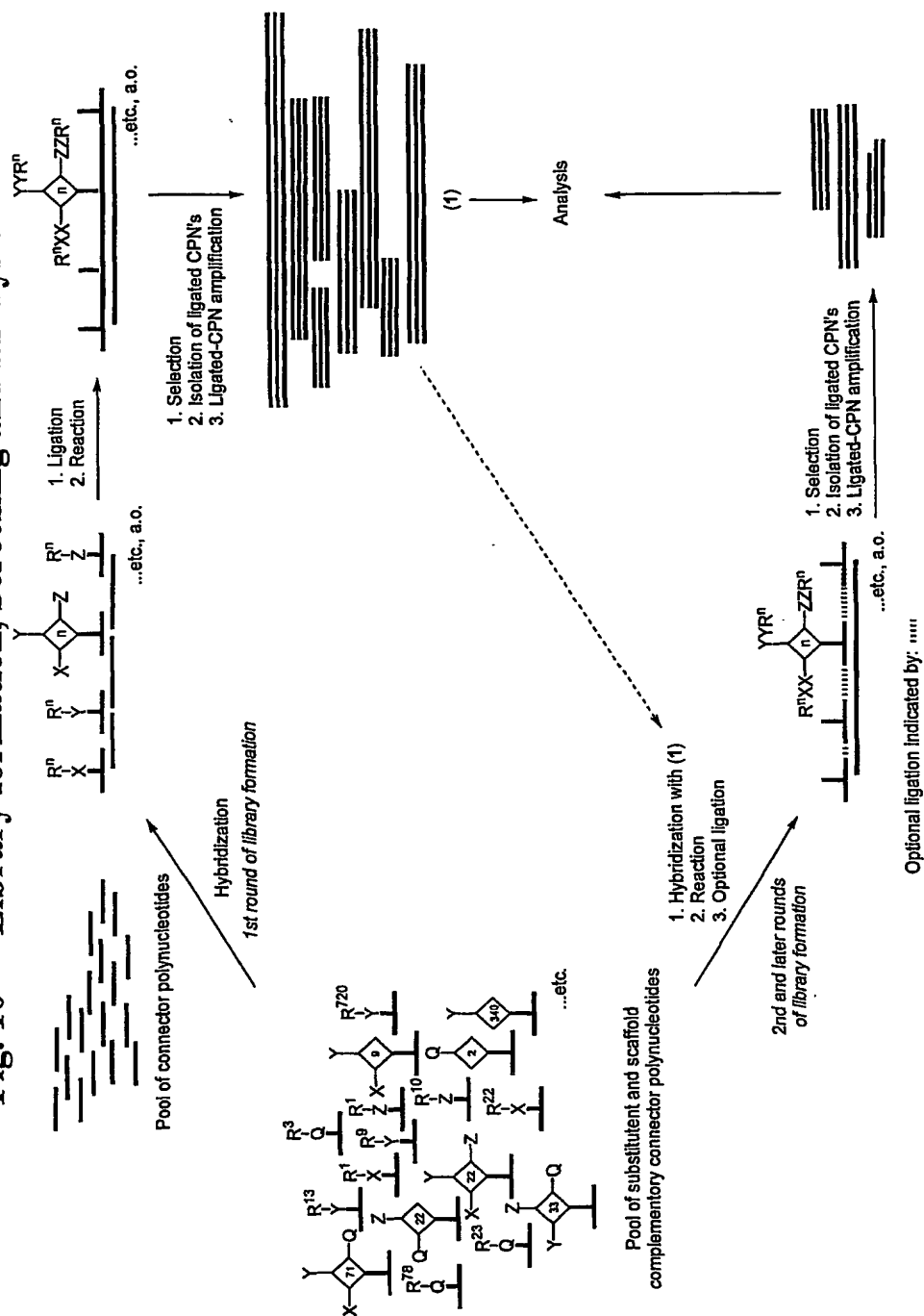


Fig. 9 Library formation, Screening and Analysis



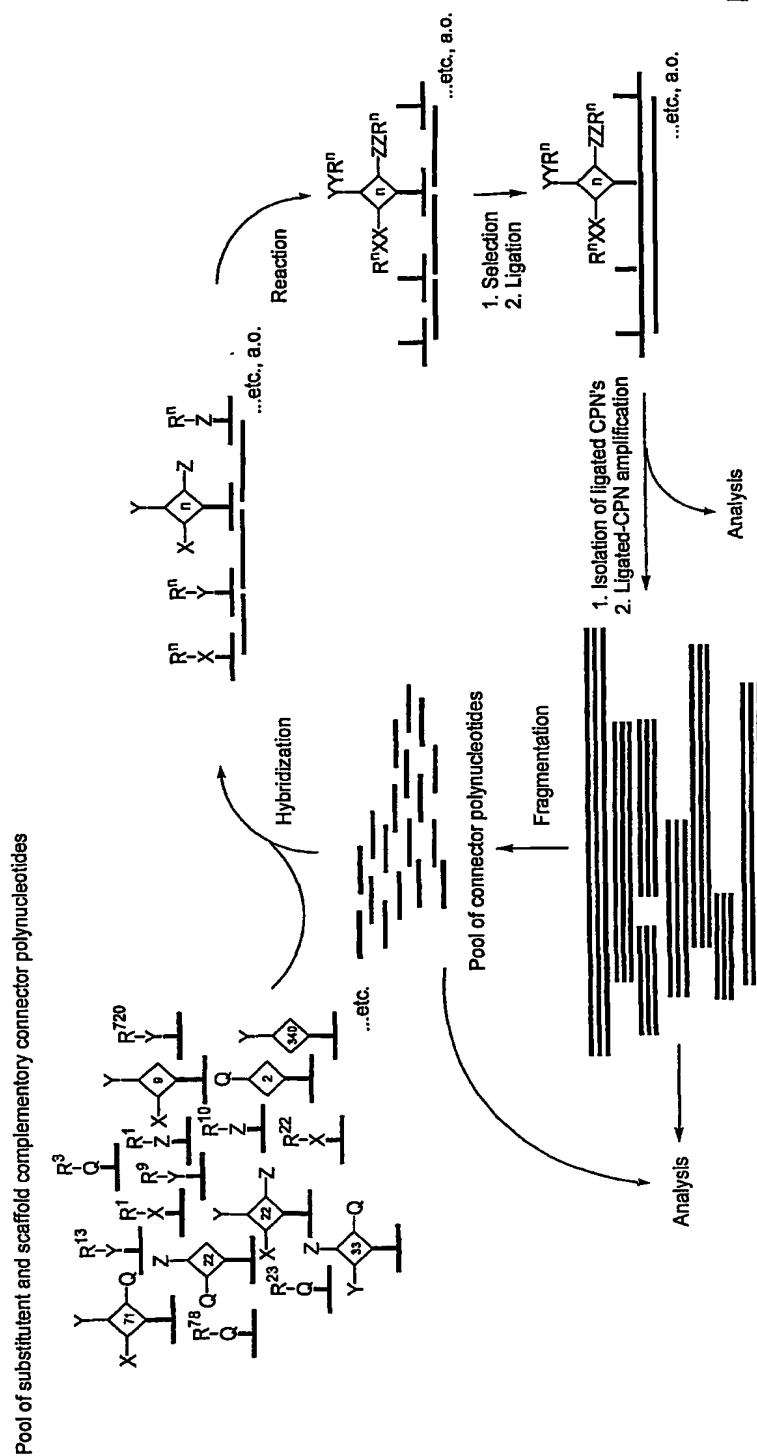
10/539288

Fig. 10 Library formation, Screening and Analysis



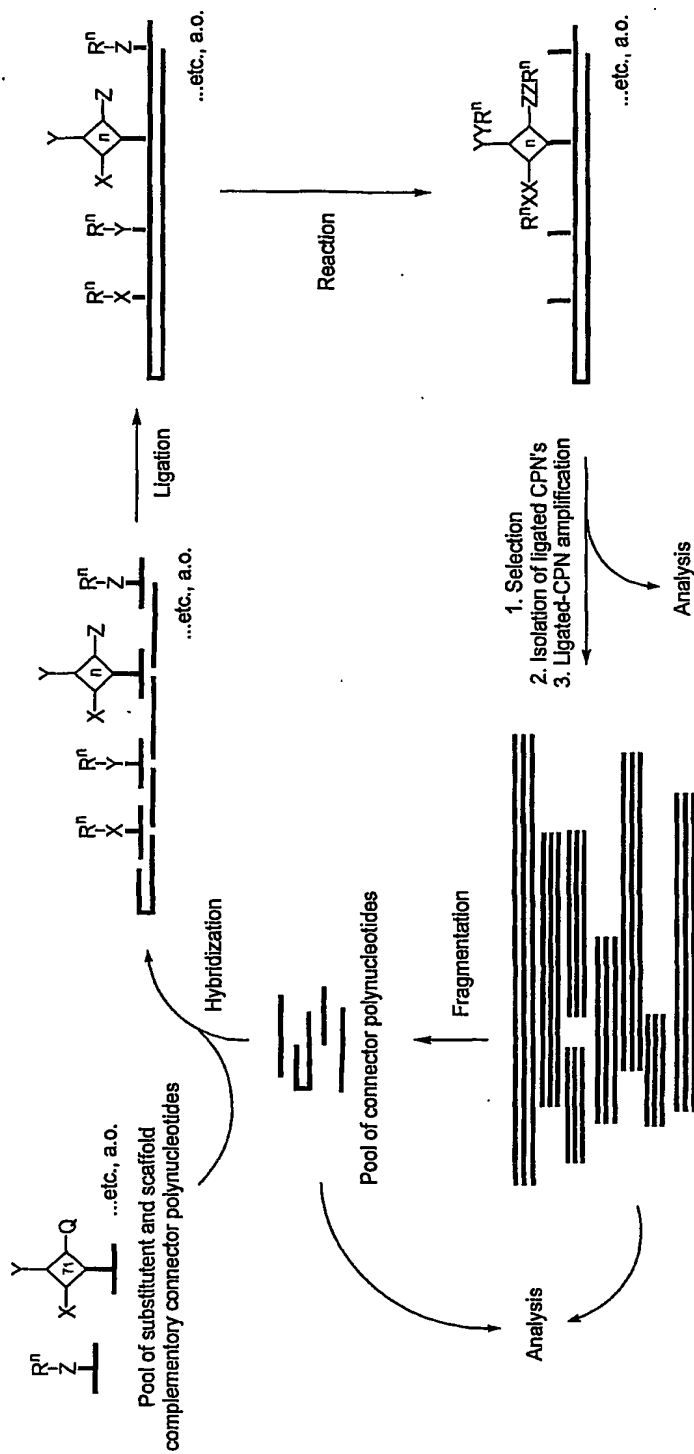
10/539288

Fig. 12 Library formation, Screening and Analysis



10/539288

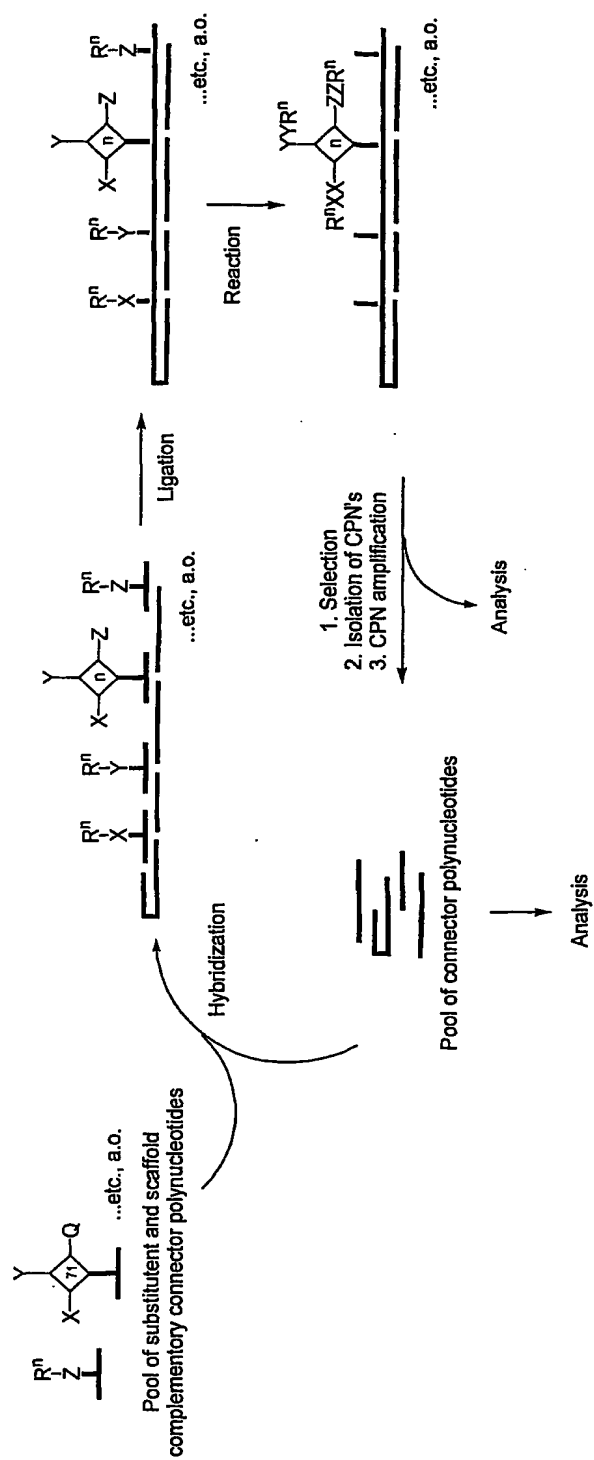
Fig. 13 Library formation, Screening and Analysis



Connector polynucleotide capable of self hybridization

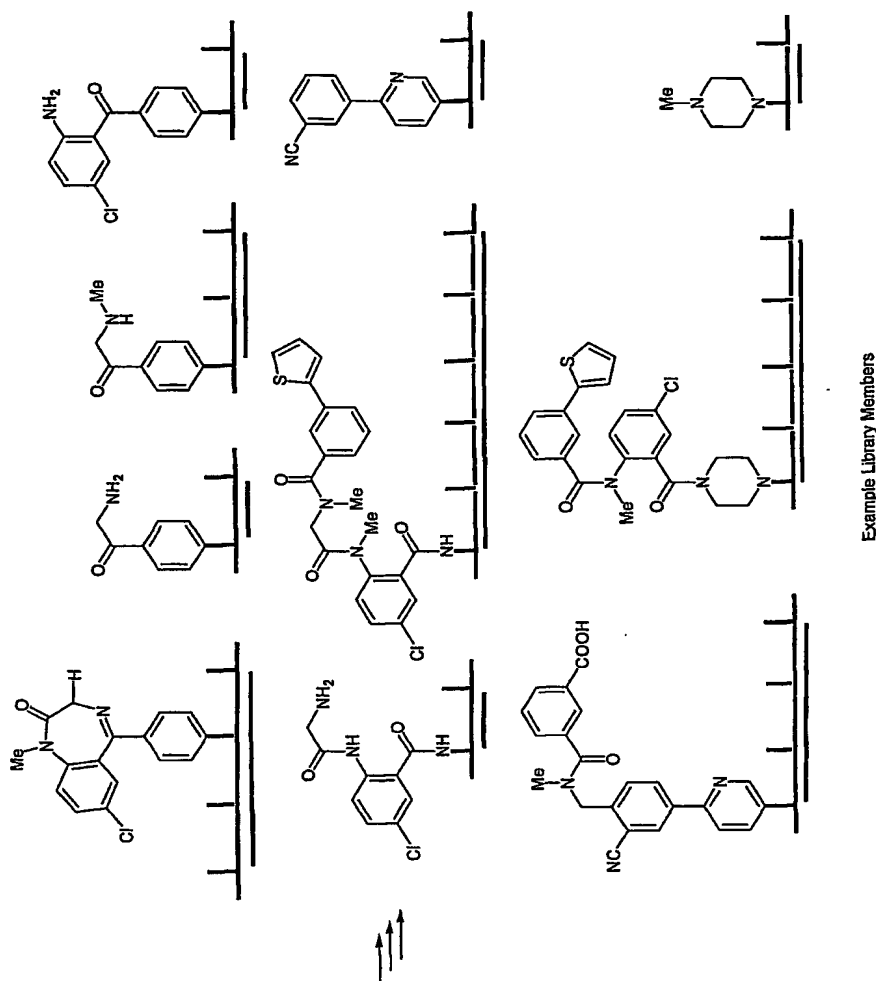
10/539288

Fig. 14 Library formation, Screening and Analysis



Connector polynucleotide capable of self hybridization

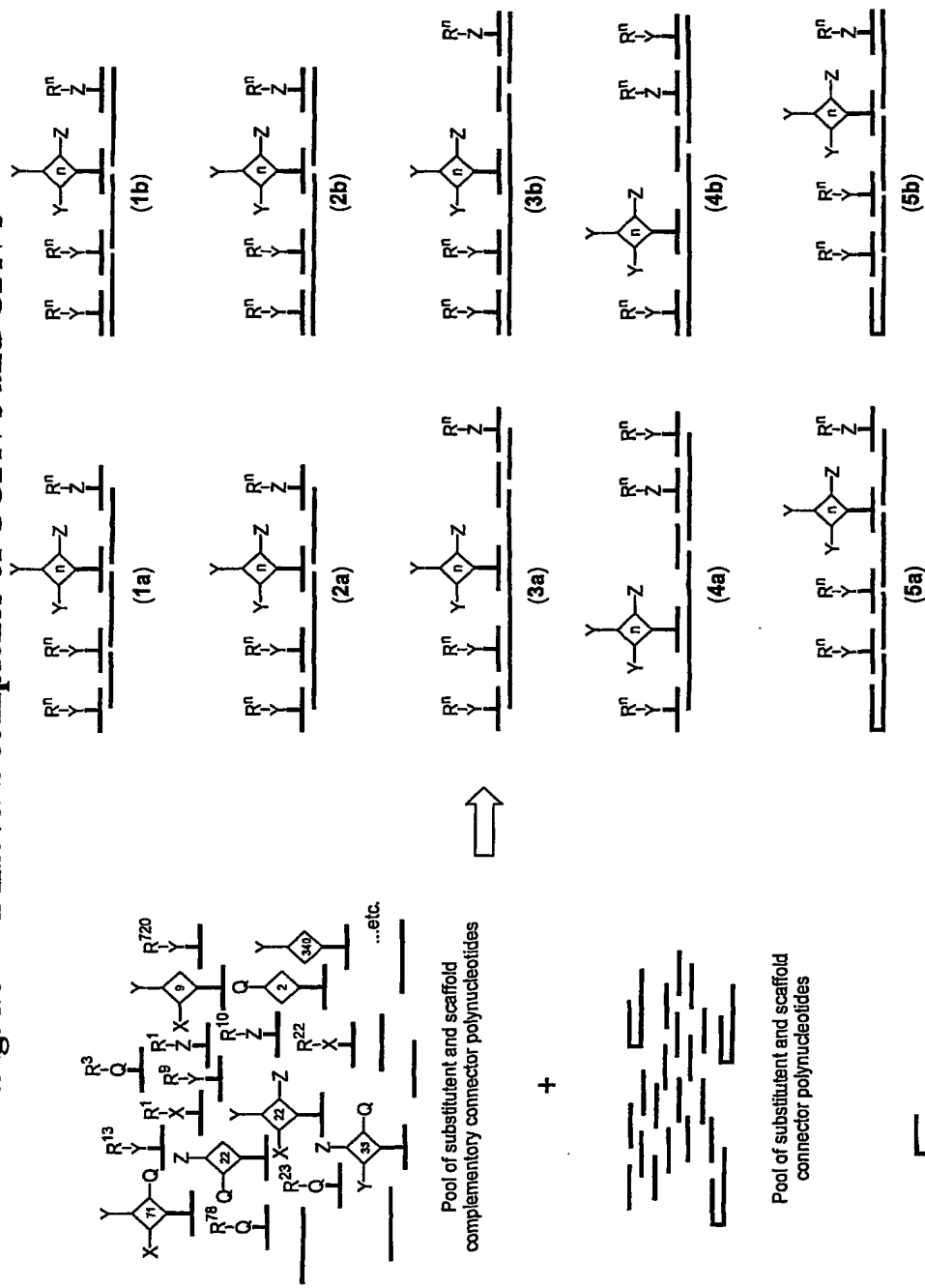
Fig. 15 Example Library



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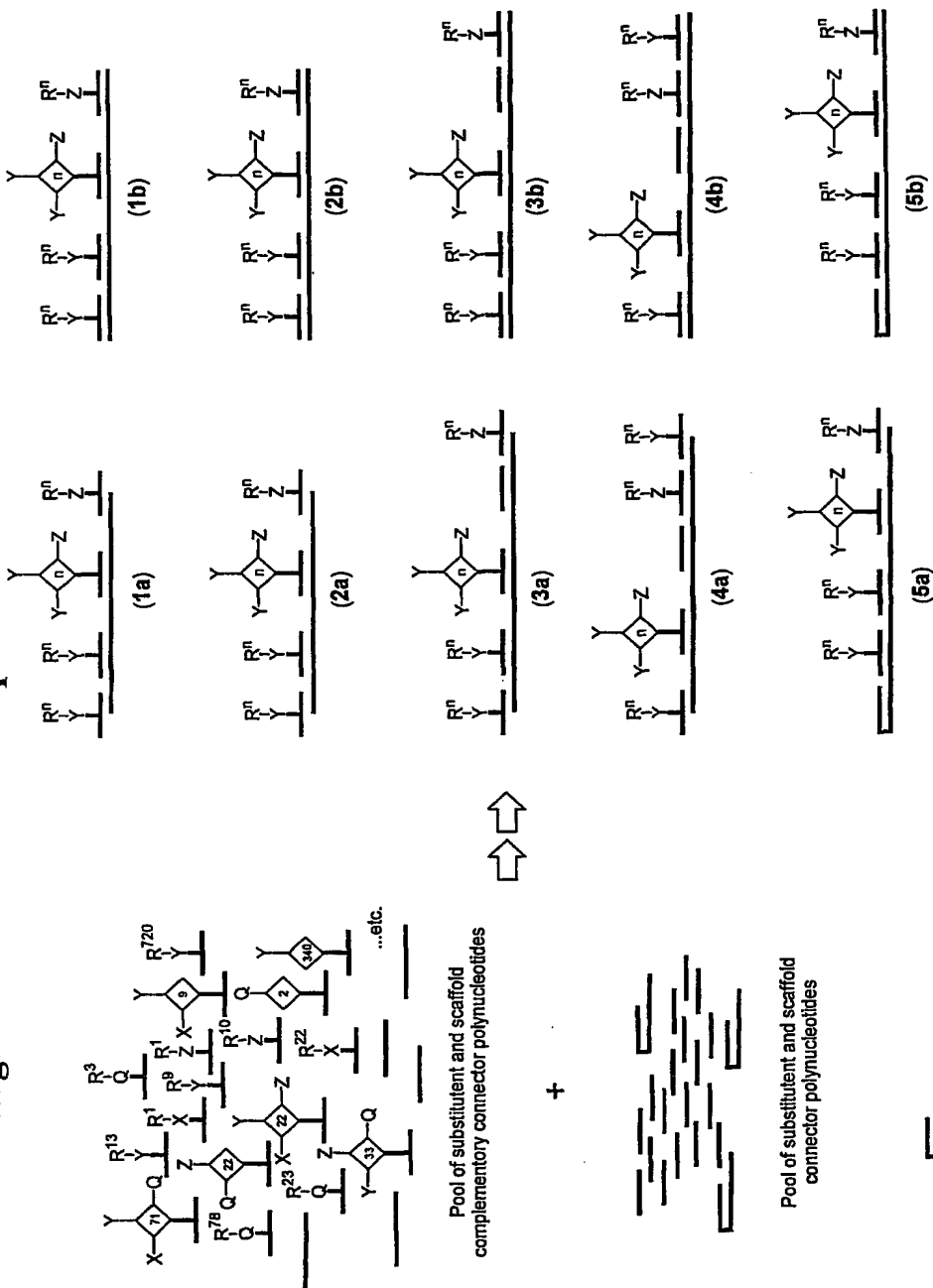
10/539288

Fig. 16 Different complexes of CCPN's and CPN's



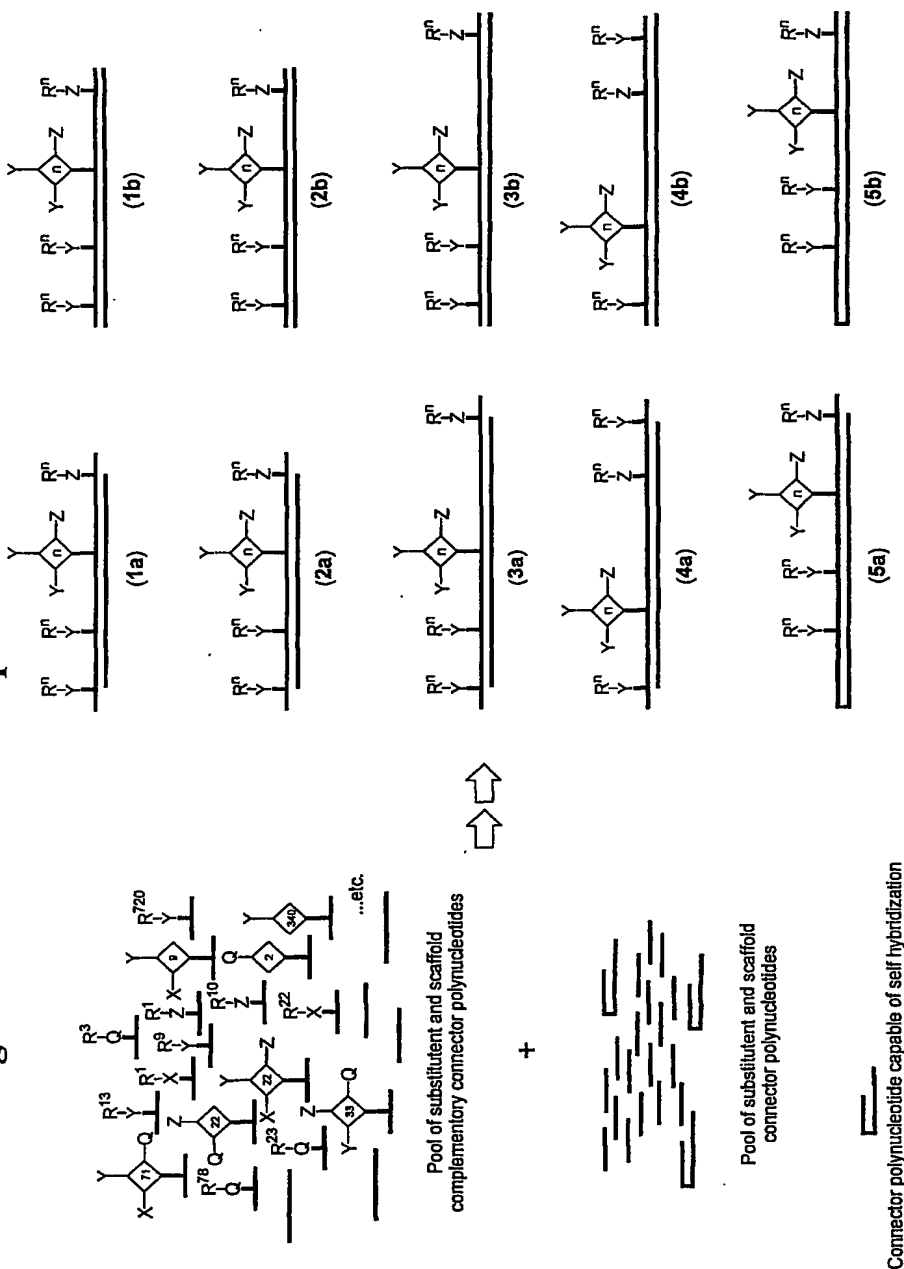
10/539286

Fig. 17 Different complexes of CCPN's and CPN's



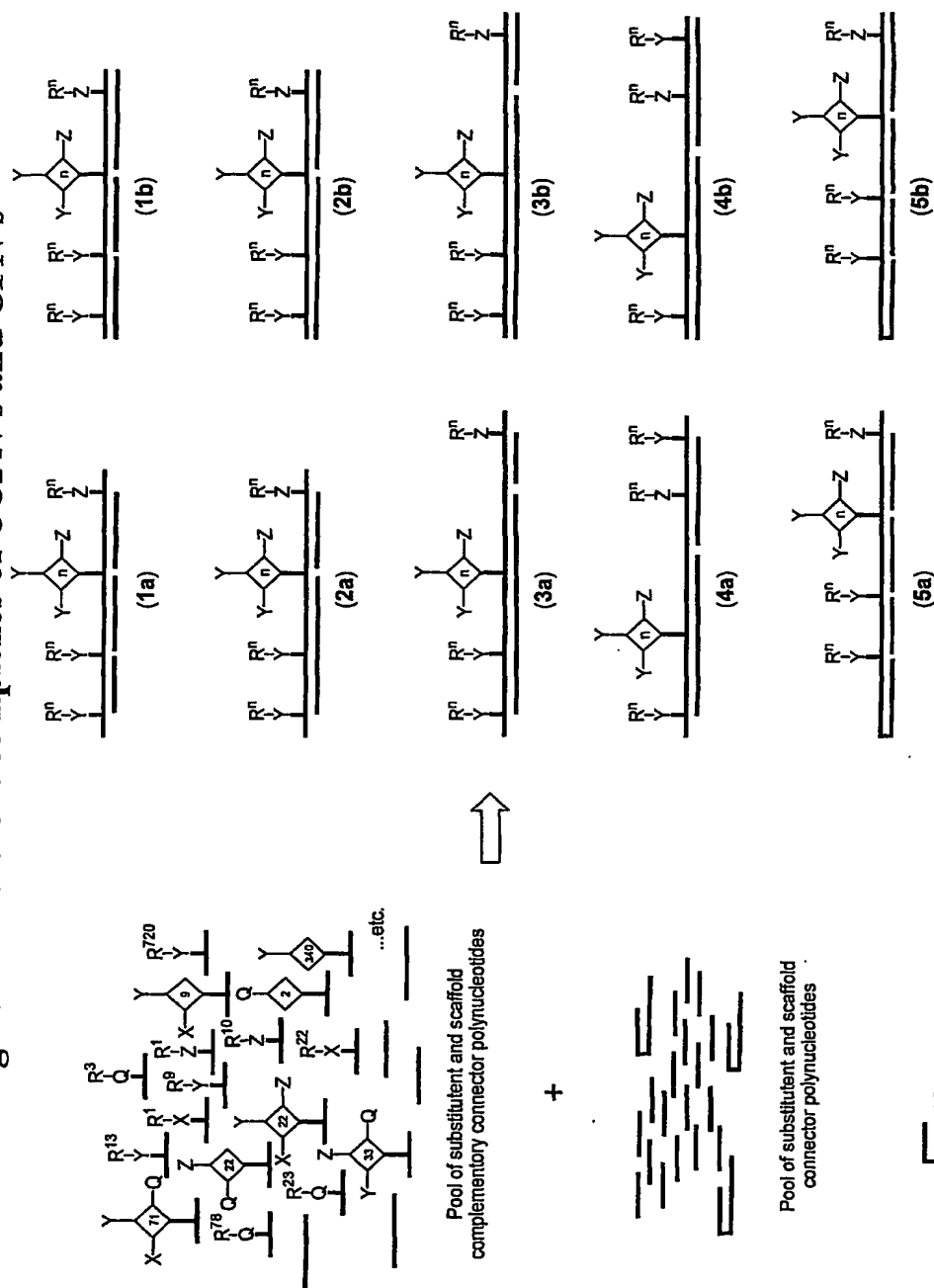
10/539288

Fig. 18 Different complexes of CCPN's and CPN's



10/539288

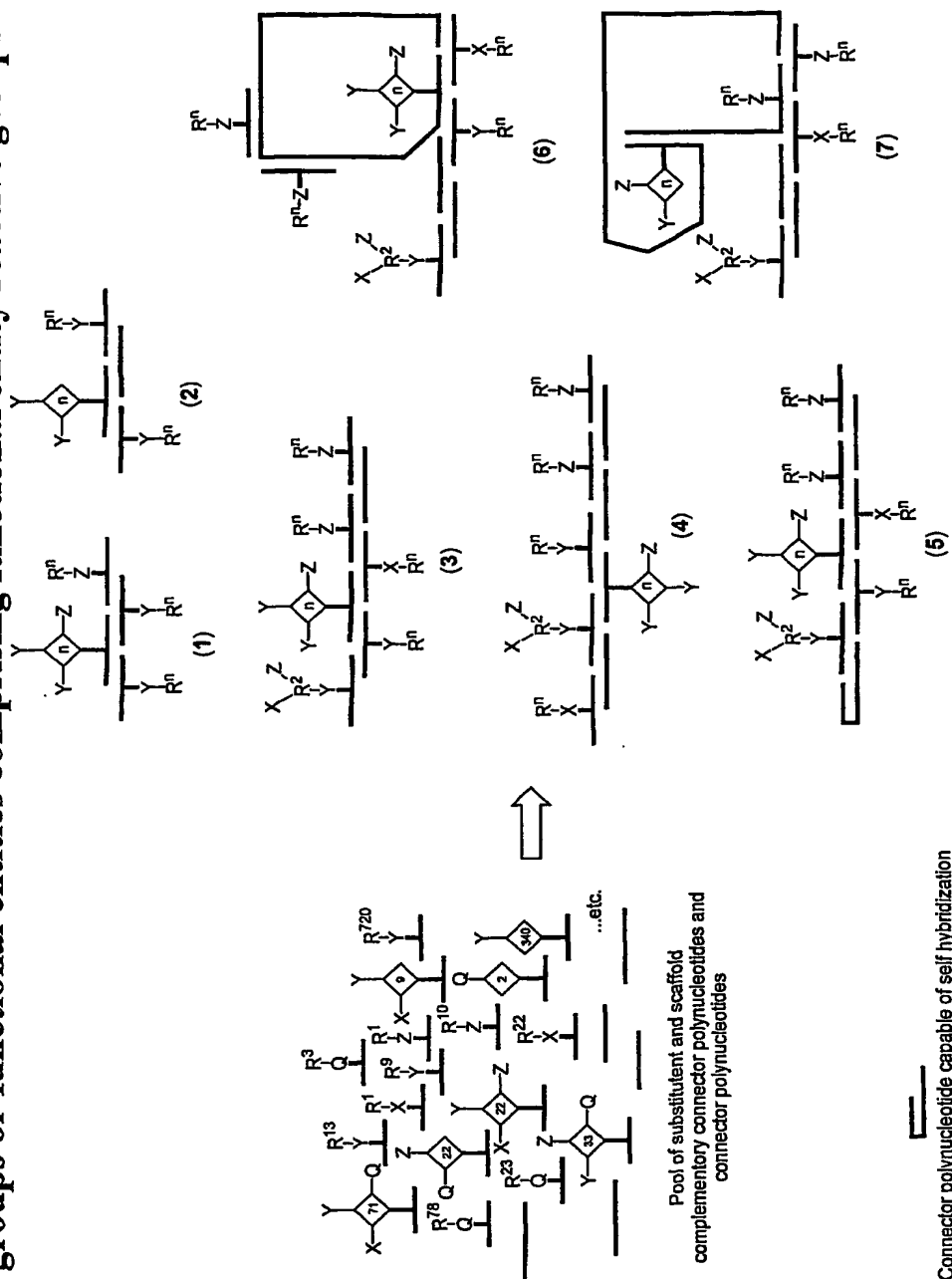
Fig. 19 Different complexes of CCPN's and CPN's



Connector polynucleotide capable of self hybridization

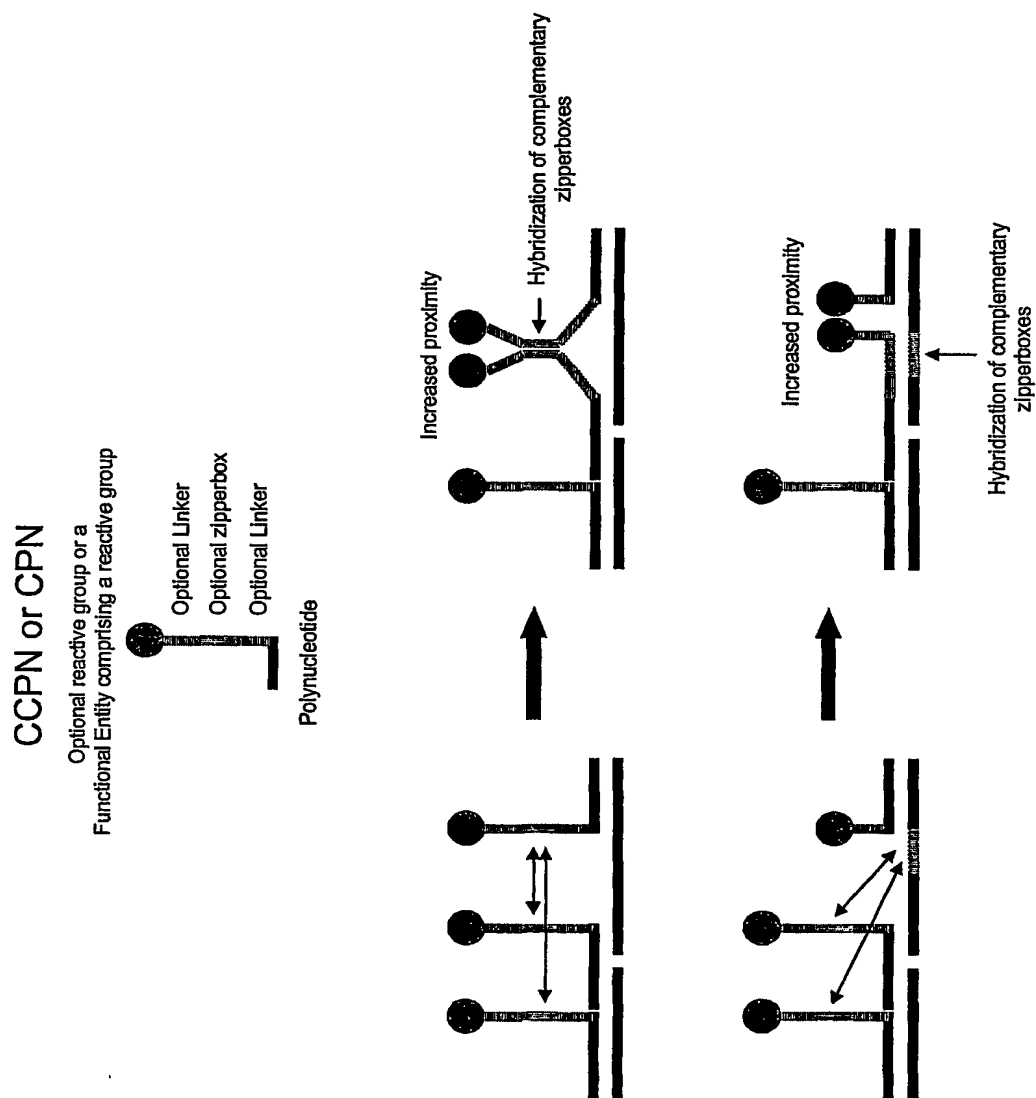
10/539288

Fig. 20 Different complexes of CCPN's and CPN's, wherein CPN's carry reactive groups or functional entities comprising functional entity reactive groups



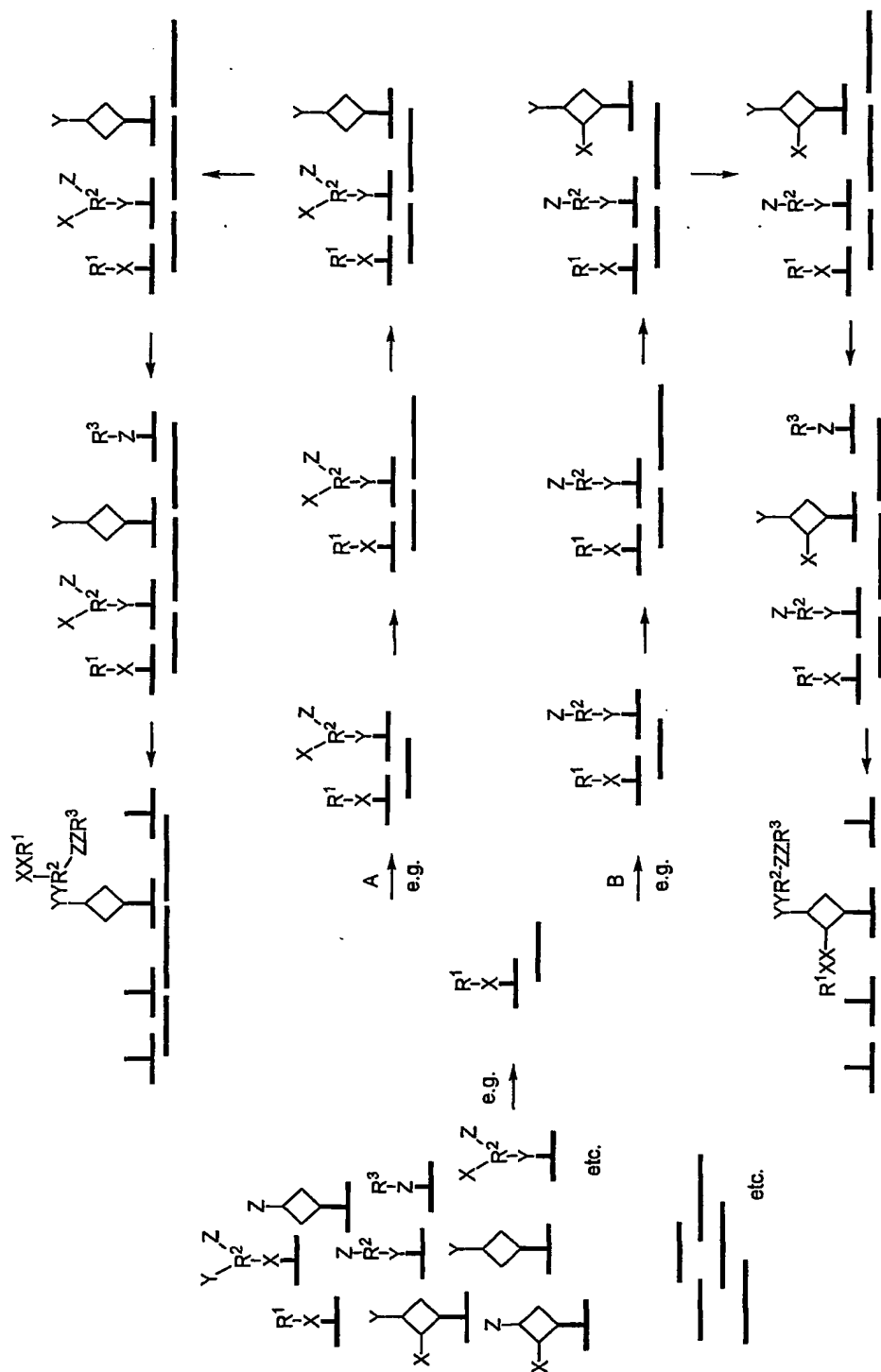
10/539288

Fig. 21 Zipperbox

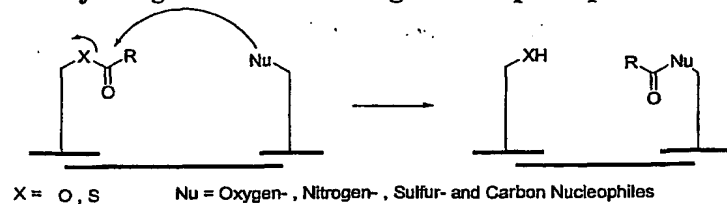
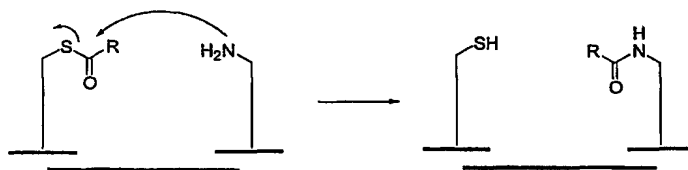
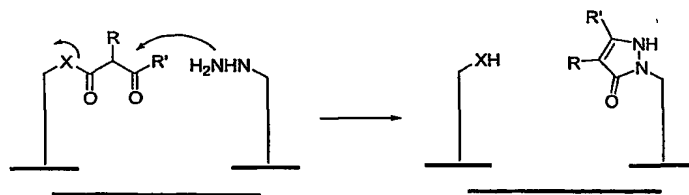
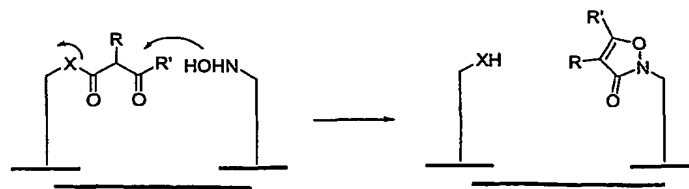


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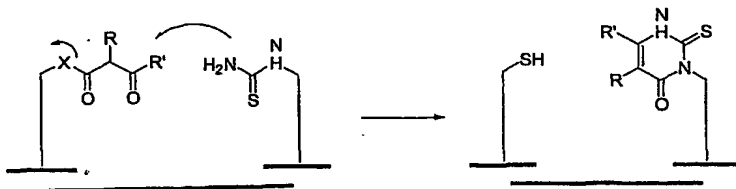
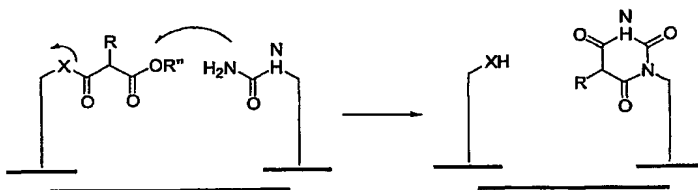
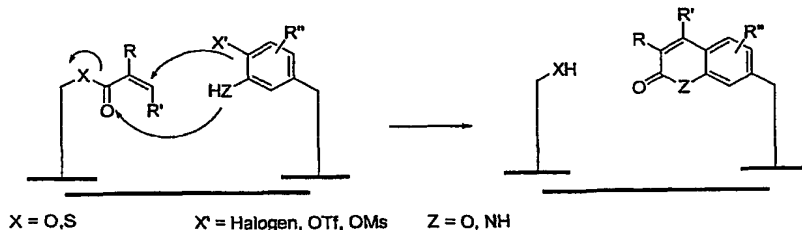
Fig. 22 Library formation. Selfassembly of CPN and CCPN complexes



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Fig. 23. Reaction types allowing simultaneous reaction and linker cleavage.**Nucleophilic substitution using activation of electrophiles****A. Acylating monomer building blocks - principle****B. Acylation****Amide formation by reaction of amines with activated esters****C. Acylation****Pyrazolone formation by reaction of hydrazines with β -Ketoesters****D. Acylation****Isoxazolone formation by reaction of hydroxylamines with β -Ketoesters**

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Fig. 23 (continued)**Reaction types allowing simultaneous reaction and linker cleavage. Continued.****E. Acylation****Pyrimidine formation by reaction of thioureas with β -Ketoesters****F. Acylation****Pyrimidine formation by reaction of ureas with Malonates****G. Acylation****Coumarine or quinolinon formation by a Heck reaction followed by a nucleophilic substitution**

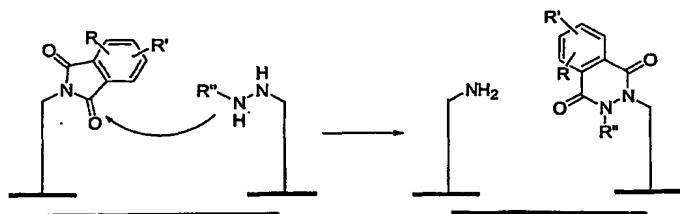
10/539288

Fig. 23 (continued)

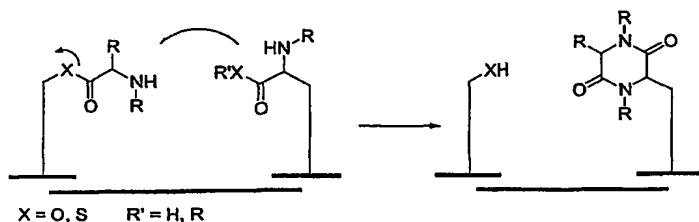
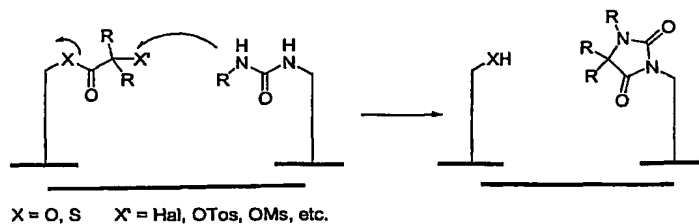
Reaction types allowing simultaneous reaction and linker cleavage. C ntinued.

H. Acylation

Phthalhydrazide formation by reaction of Hydrazines and Phthalimides

**I. Acylation**

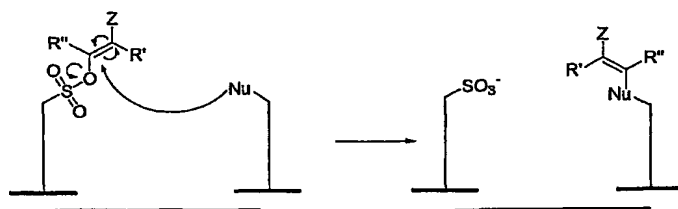
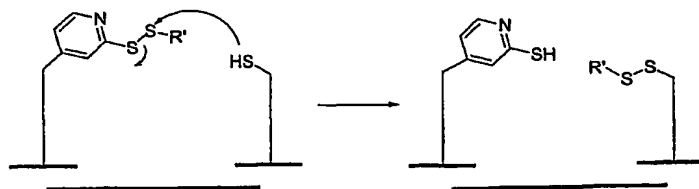
Diketopiperazine formation by reaction of Amino Acid Esters

**J. Acylation**Hydantoin formation by reaction of Urea and α -substituted Esters

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Fig. 23 (continued)**Reaction types allowing simultaneous reaction and linker cleavage. Continued.****K. Alkylating monomer building blocks - principle****Alkylated compounds by reaction of Sulfonates with Nucleofiles**

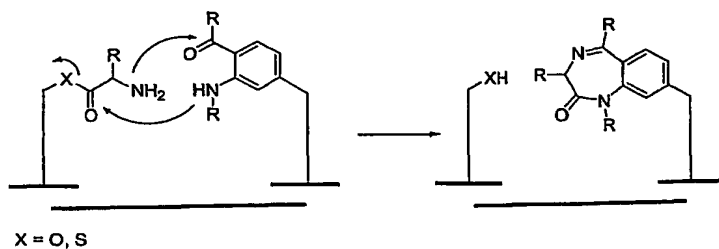
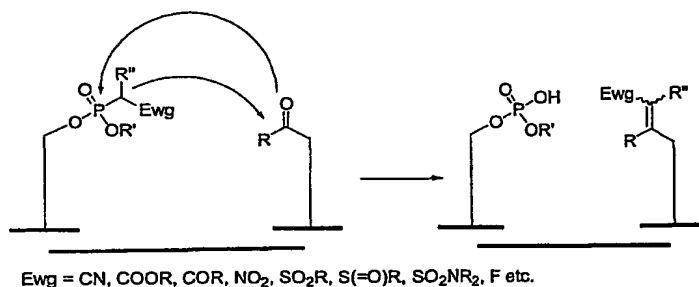
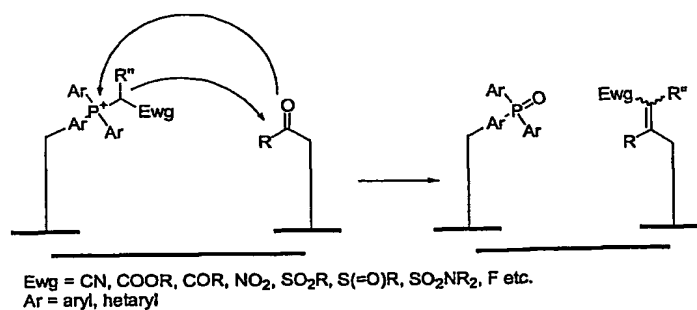
Nu = Oxygen-, Nitrogen-, Sulfur- and Carbon Nucleophiles

L. Vinylating monomer building blocks - principleZ = CN, COOR, COR, NO₂, SO₂R, S(=O)R, SO₂NR₂, F
Nu = Oxygen-, Nitrogen-, Sulfur- and Carbon Nucleophiles**M. Heteroatom electrophiles****Disulfide formation by reaction of Pyridyl disulfide with mercaptanes**

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Fig. 23 (continued)

Reaction types allowing simultaneous reaction and linker cleavage. Continued.

N. Acylation**Benzodiazepinone formation by reaction of Amino Acid Esters and Amino Ketones****Addition to carbon-hetero multiple bonds****O. Wittig/Horner-Wittig-Emmons reagents****Substituted alkene formation by reaction of Phosphonates with Aldehydes or Ketones****P. Wittig/Horner-Wittig-Emmons reagents****Substituted alkene formation by reaction of Phosphonates with Aldehydes or Ketones**

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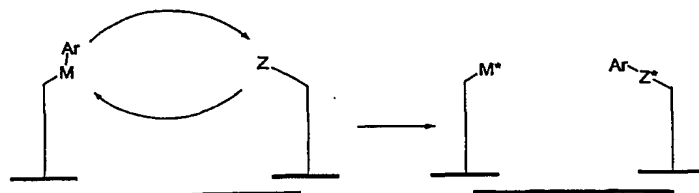
10/539288

Fig. 23 (continued)

Reaction types allowing simultaneous reaction and linker cleavage. Continued.

Transition metal catalysed reactions

Q. Transition metal cat. Arylations



Z = haloaryl, haloarylethyl, ArOMs, ArOTf, ArOTos or NHR or OH or SH etc.

Z* = Aryl, hetaryl, NR or O or S etc

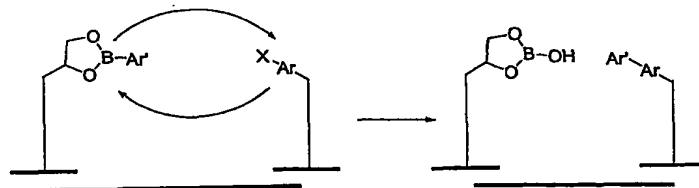
M = e.g. BR, BR₂⁻, SnR₂ etc.

R = H, alkyl, aryl, hetaryl, OR, NR₂

M* = e.g. B(OH)R, B(OH)R₂⁻, Sn(OH)R₂ etc.

R. Arylation

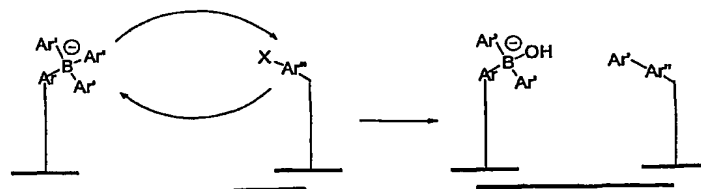
Biaryl formation by the reaction of Borates with Aryls or Heteroaryls



X = Halogen, OMs, OTf, OTos, etc

S. Arylation

Biaryl formation by the reaction of Boronates with Aryls or Heteroaryls



X = Halogen, OMs, OTf, OTos, etc

Ar = aryl, hetaryl

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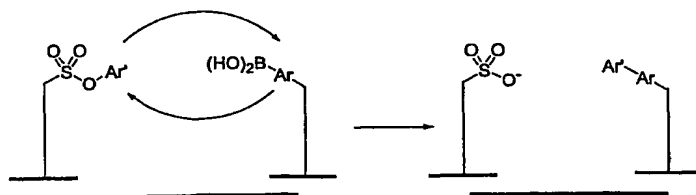
10/539288

Fig. 23 (continued)

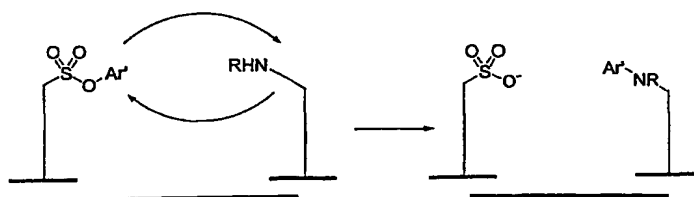
Reaction types allowing simultaneous reaction and linker cleavage. Continued.

T. Arylation

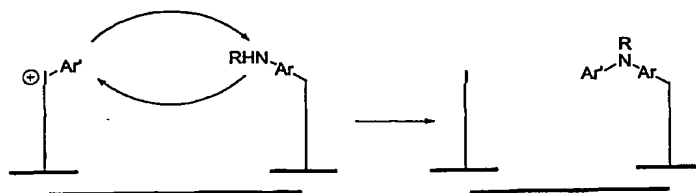
Biaryl formation by the reaction of Boronates with Aryls or Heteroaryls

**U. Arylation**

Arylamine formation by the reaction of amines with activated Aryls or Heteroaryls

**V. Arylation**

Arylamine formation by the reaction of amines with hypervalent iodonium salts



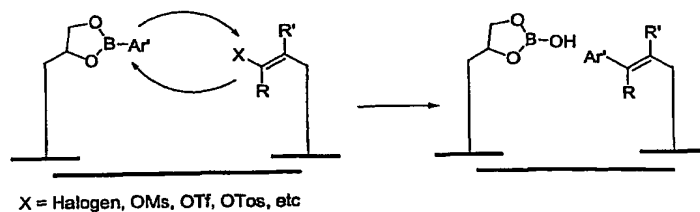
10/539288

Fig. 23 (continued)

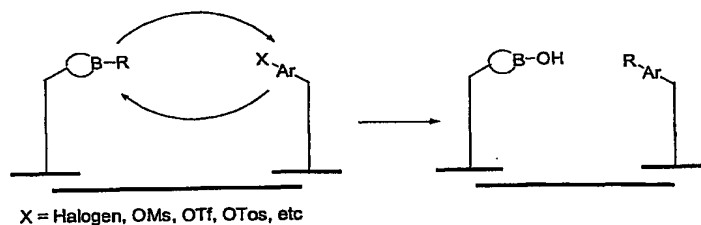
Reaction types allowing simultaneous reaction and linker cleavage. Continued.

X. Arylation

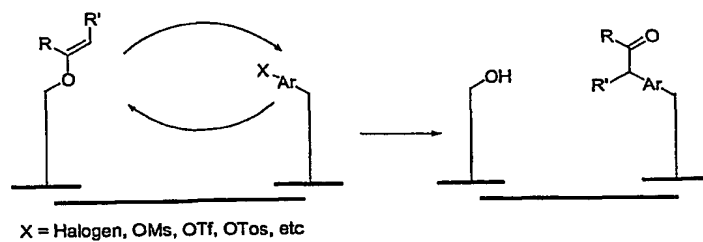
Vinylarene formation by the reaction of alkenes with Aryls or Heteroaryls

**Y. Alkylation**

Alkylation of arenes/hetarens by the reaction with Alkyl boronates

**Z. Alkylation**

Alkylation of arenes/hetarenes by reaction with enolethers



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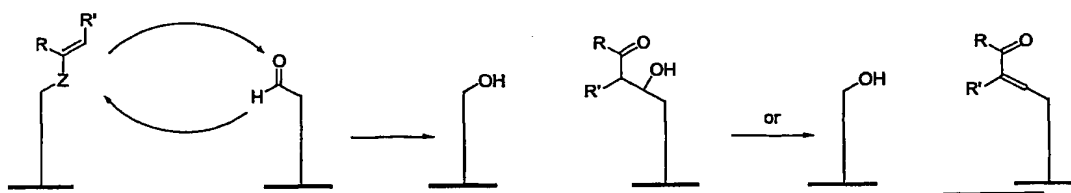
Fig. 23 (continued)

Reaction types allowing simultaneous reaction and linker cleavage. Continued.

Nucleophilic substitution using activation of nucleophiles

AA. Condensations

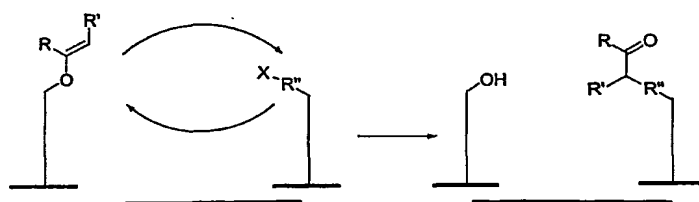
Alkylation of aldehydes with enolethers or enamines



Z = NR, O; X = Halogen, OMs, OTf, OTos, etc

AB. Alkylation

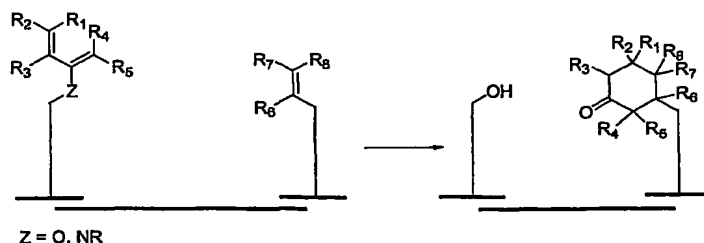
Alkylation of aliphatic halides or tosylates with enolethers or enamines



X = Halogen, OMs, OTf, OTos, etc

Cycloadditions

AC. [2+4] Cycloadditions



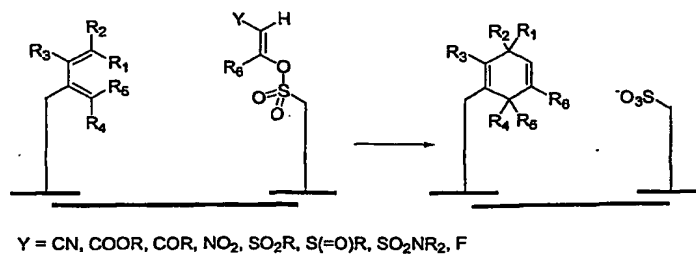
Z = O, NR

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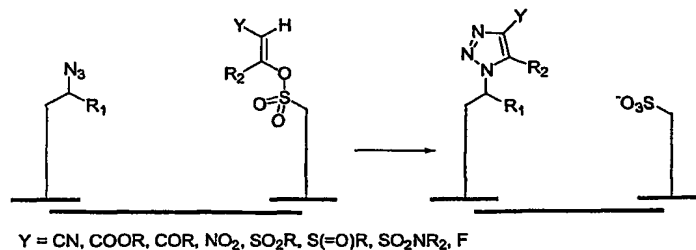
Fig. 23 (continued)

Reaction types allowing simultaneous reaction and linker cleavage. Continued.

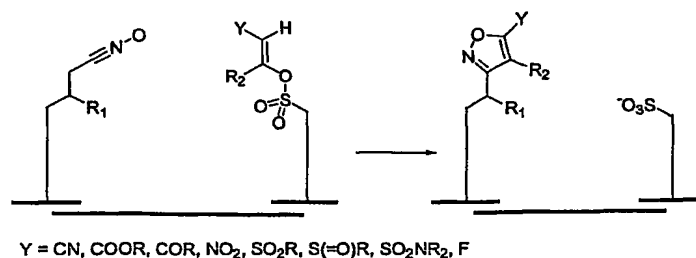
AD. [2+4] Cycloadditions



AE. [3+2] Cycloadditions



AF. [3+2] Cycloadditions

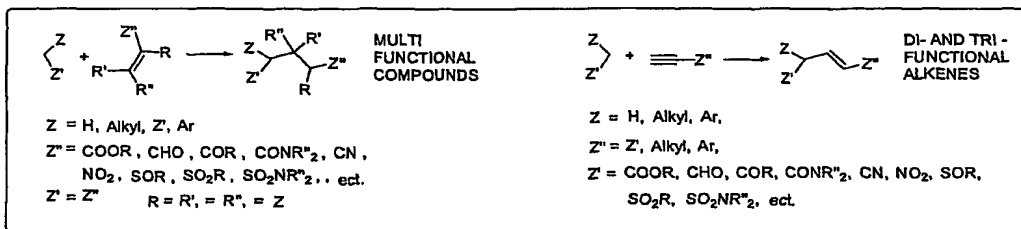
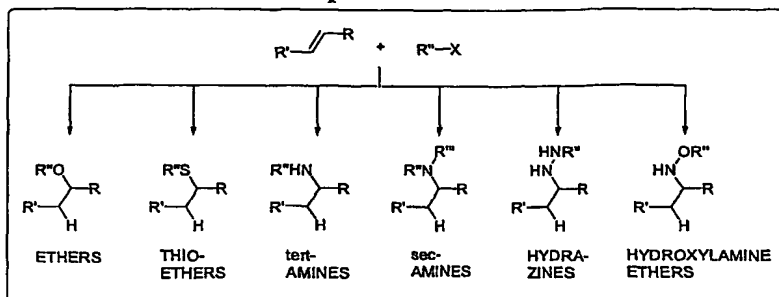


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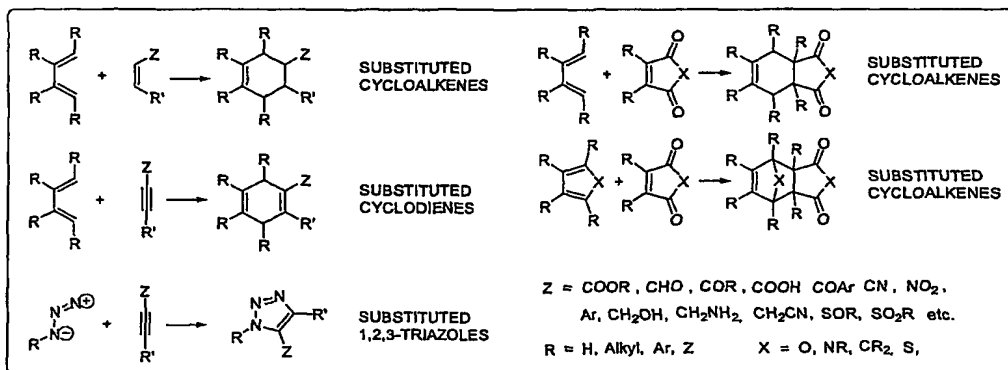
Fig. 24. (continued)

Pairs of reactive groups X,Y and the resulting bond XY. Continued.

Addition to carbon-carbon multiplebonds



Cycloaddition to multiple bounds

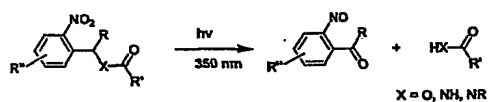


SUBSTITUTE SHEET (RULE 26)

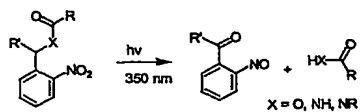
10/539288

Fig. 25. Cleavable Linkers

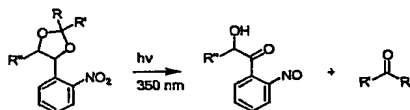
A. Linker for the formation of Ketones, Aldehydes, Amides and Acids



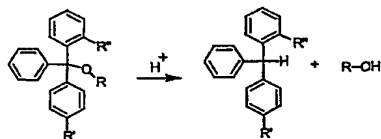
B. Linker for the formation of Ketones, Amides and Acids



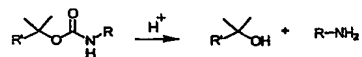
C. Linker for the formation of Aldehydes and Ketones



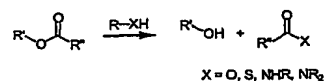
D. Linker for the formation of Alcohols and Acids



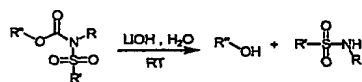
E. Linker for the formation of Amines and Alcohols



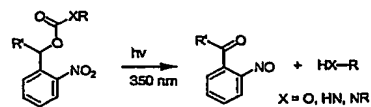
F. Linker for the formation of Esters, Thioesters, Amides and Alcohols



G. Linker for the formation of Sulfonamides and Alcohols



H. Linker for the formation of Ketones, Amines and Alcohols

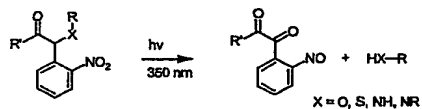
**SUBSTITUTE SHEET (RULE 26)**

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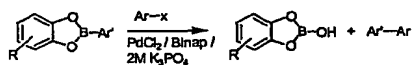
Fig. 25 (continued)

Cleavable Linkers

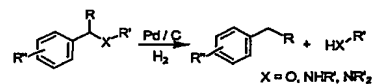
I. Linker for the formation of Ketones, Amines, Alcohols and Mercaptanes



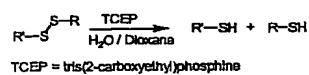
J. Linker for the formation of Biaryl and Bihetaryl



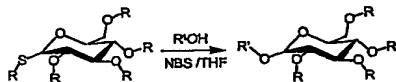
K. Linker for the formation of Benzyles, Amines, Anilins Alcohols and Phenols



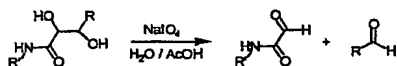
L. Linker for the formation of Mercaptanes



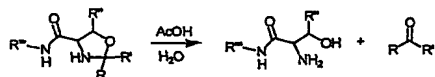
M. Linker for the formation of Glycosides



N. Linker for the formation of Aldehydes and Glyoxylamides



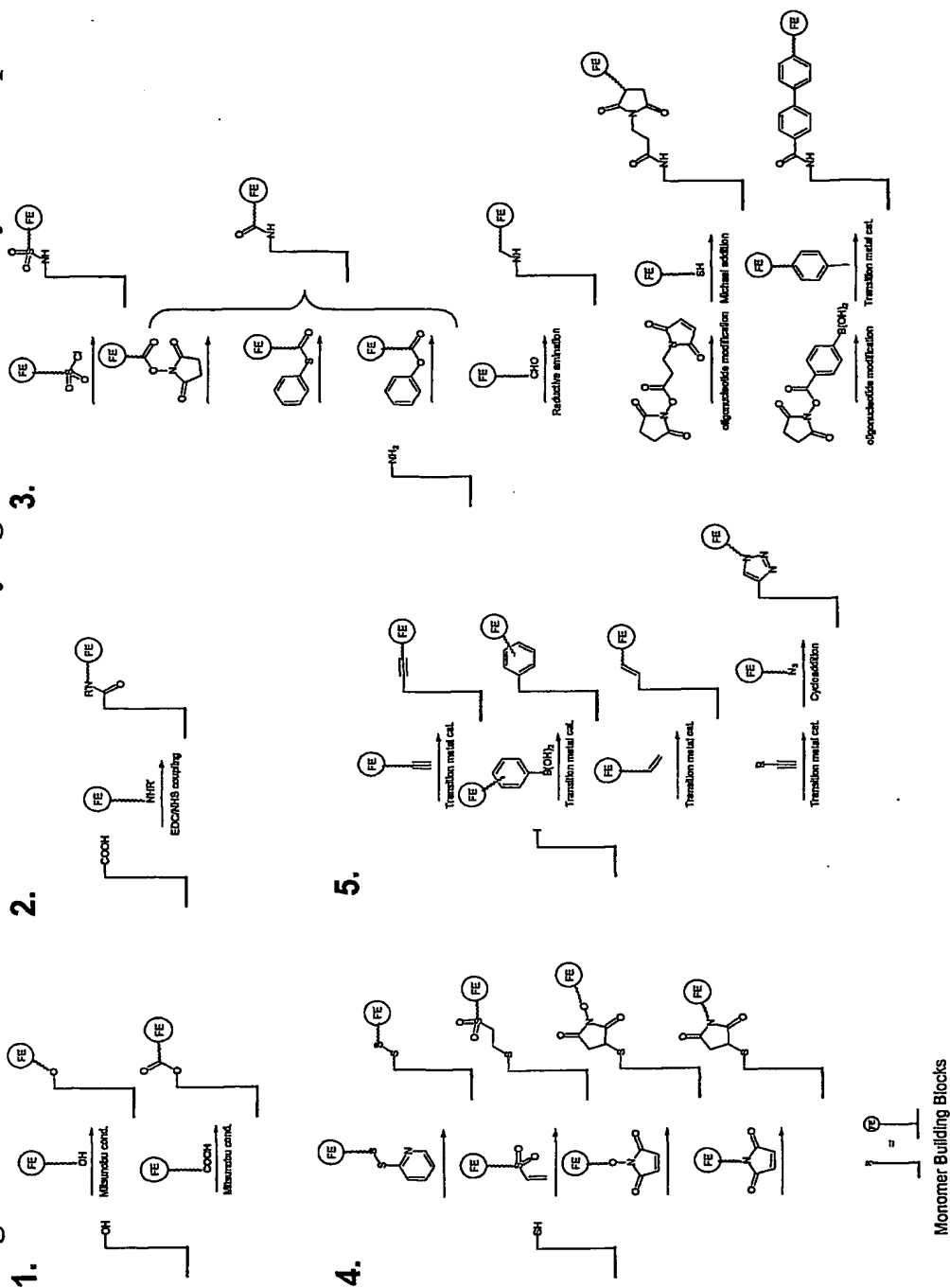
O. Linker for the formation of Aldehydes, Ketones and Aminoalcohols



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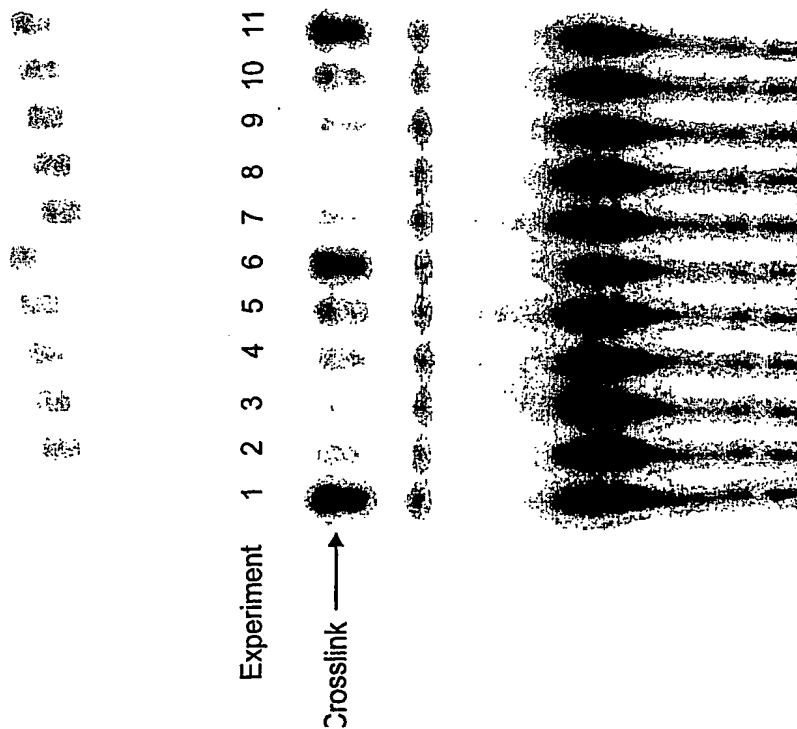
10/539280

Fig. 26 Formation of CCPN's carrying a functional entity – Examples



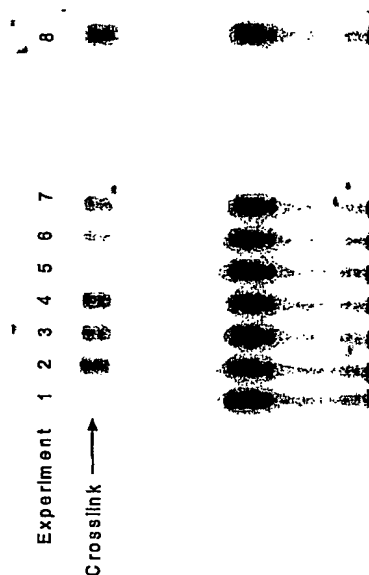
10/539288

Fig. 28



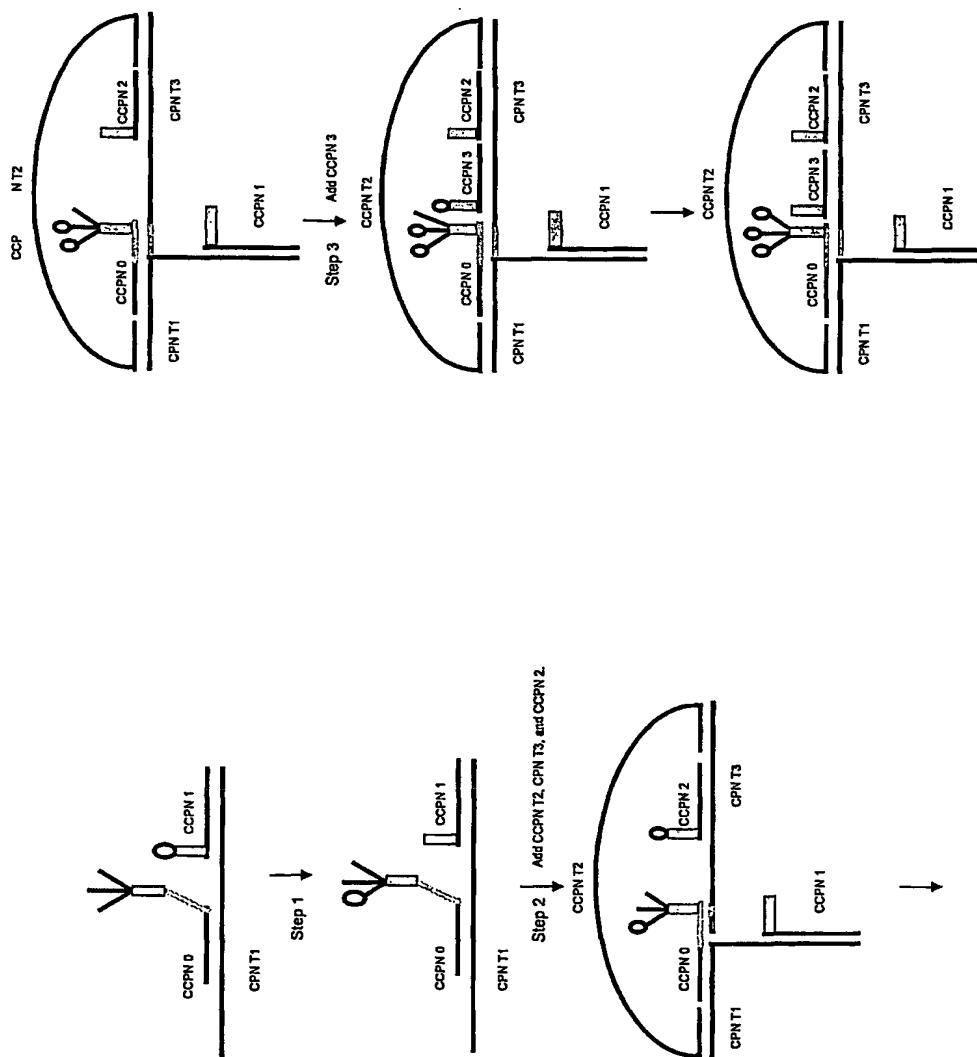
10/539288

Fig. 29



10/539288

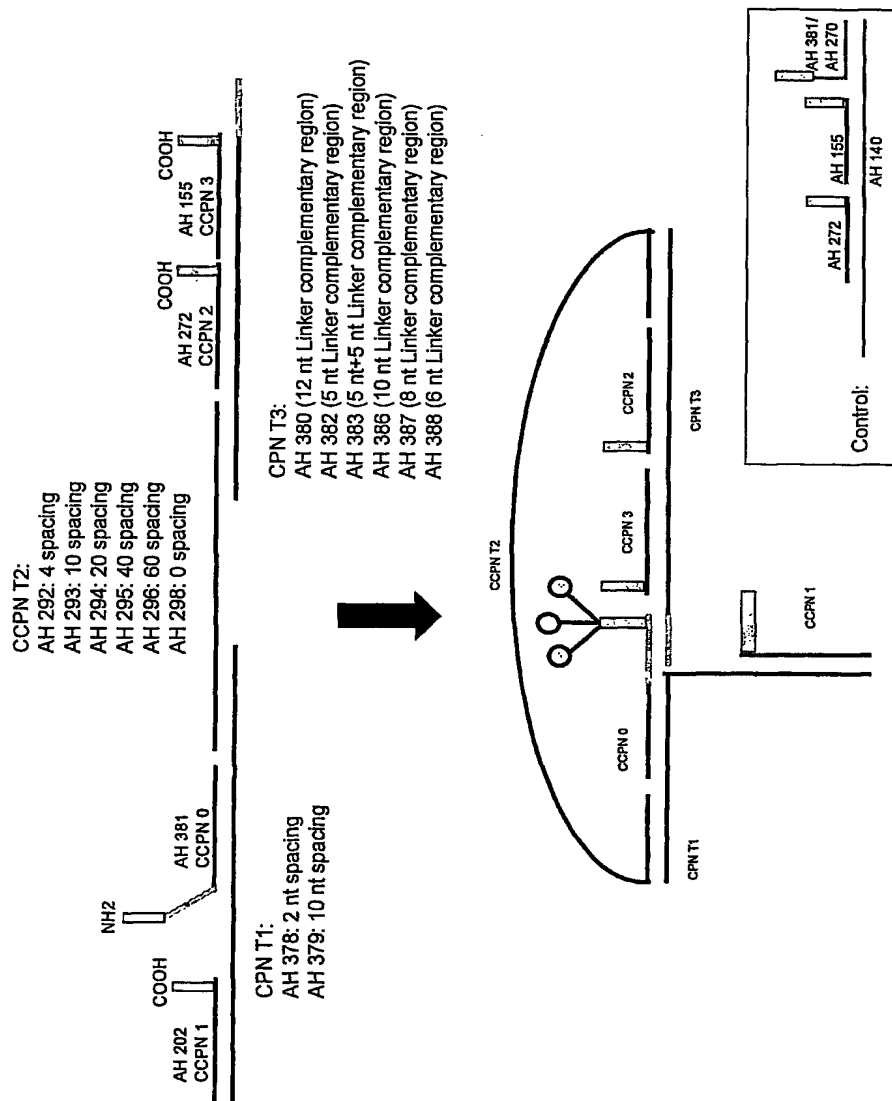
Fig. 30



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Fig. 31



10/539288

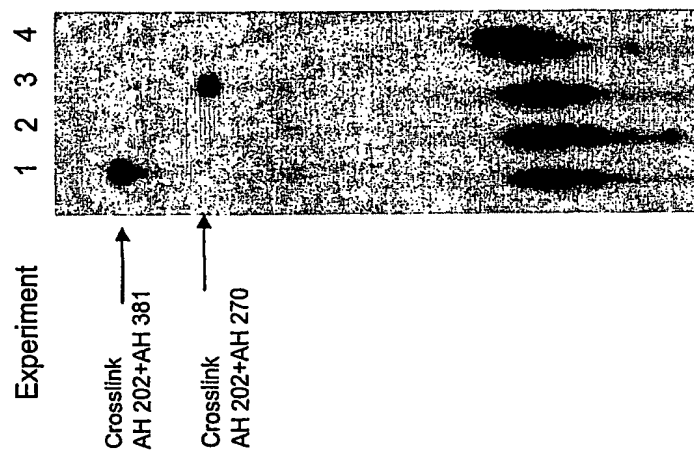
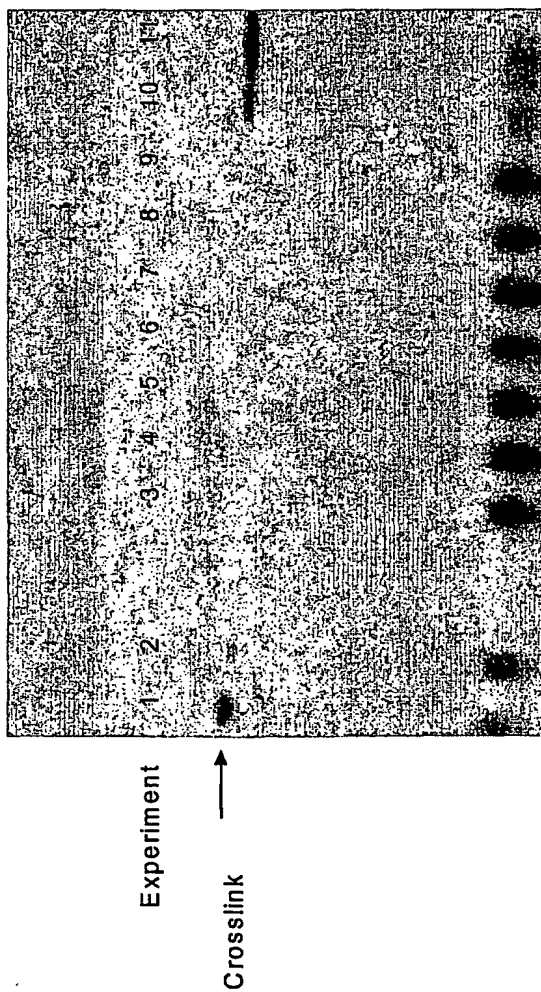


Fig. 32

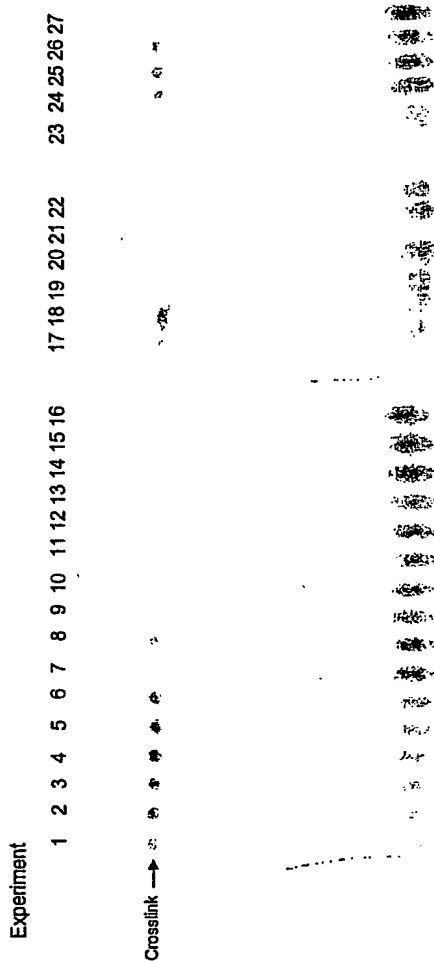
10/539288

Fig. 33



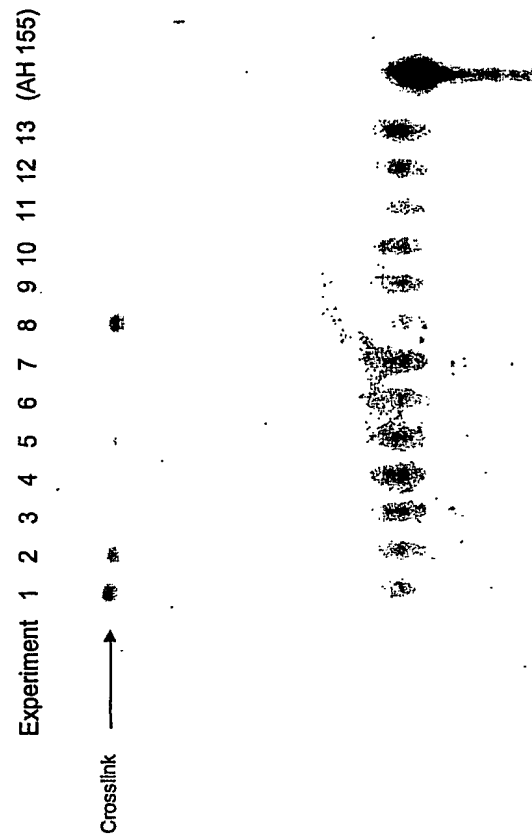
10/539288

Fig. 34



10/539288

Fig. 35



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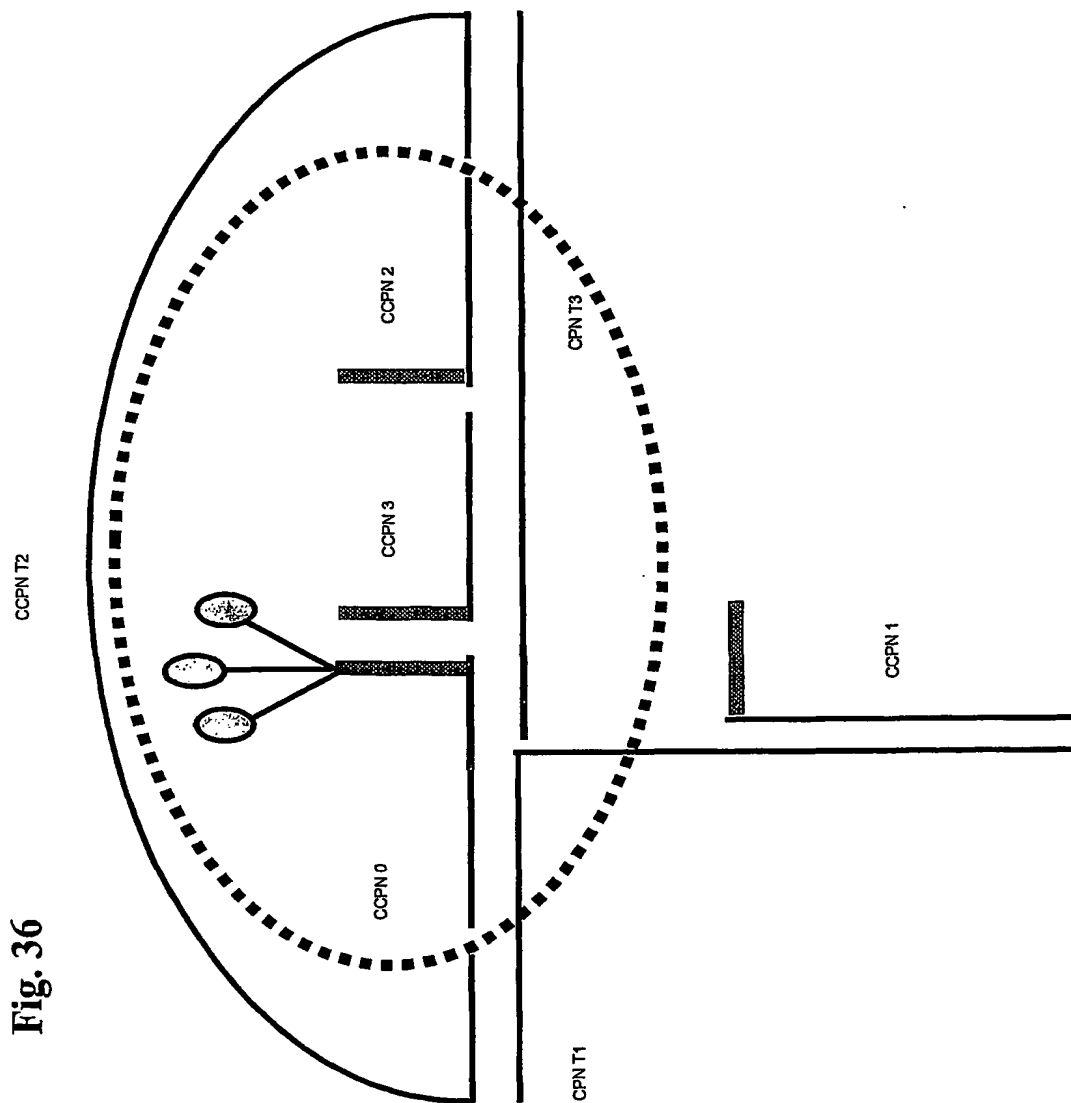
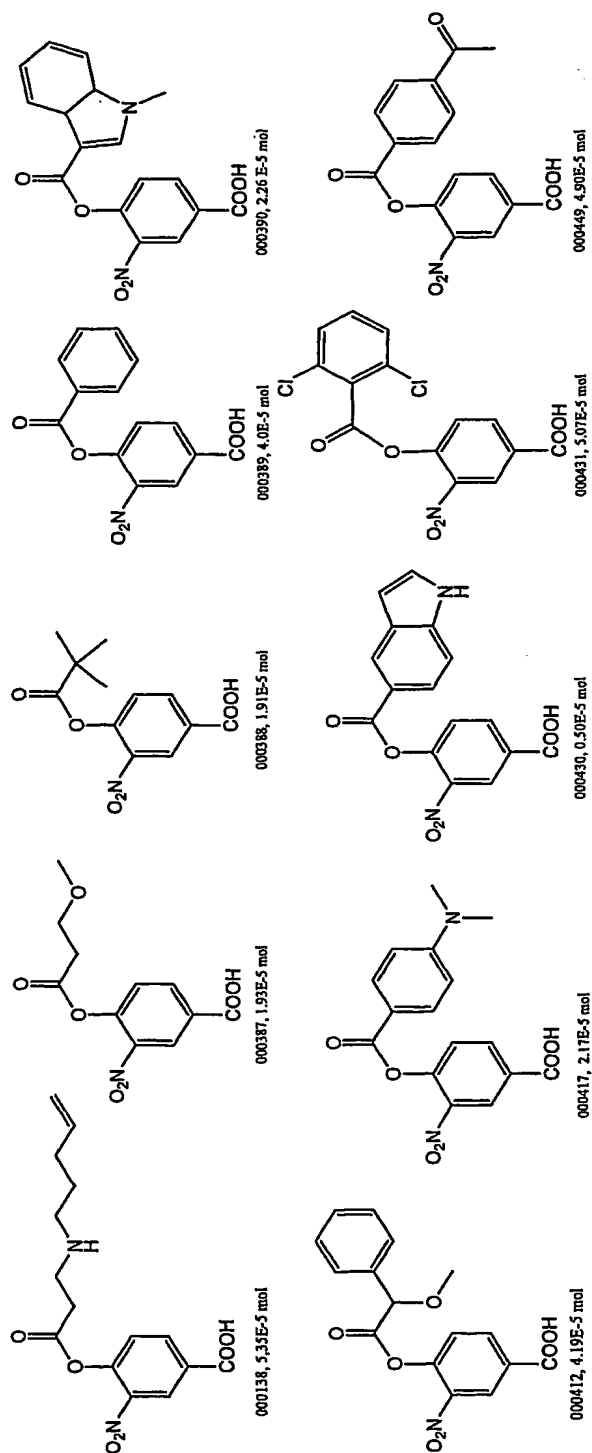


Fig. 36

10/539288

Fig. 37



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